=> file caplus
FILE 'CAPLUS' ENTERED AT 11:14:07 ON 01 FEB 2010

FILE COVERS 1907 - 1 Feb 2010 VOL 152 ISS 6
FILE LAST UPDATED: 31 Jan 2010 (20100131/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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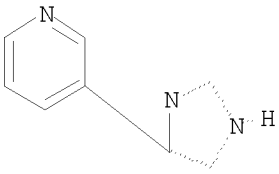
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L6 2 SEA FILE=REGISTRY EXA FUL L1
L7 61 SEA FILE=CAPLUS L6

=> d l7 1-61 ibib abs hitstr

L7 ANSWER 1 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1433225 CAPLUS
DOCUMENT NUMBER: 151:571290
TITLE: Preparation of 10a-azalide compounds having 4-membered ring structure as antibacterial agents
INVENTOR(S): Sugimoto, Tomohiro; Yamamoto, Kanako; Kurosaka, Jun; Sasamoto, Naoki; Kashimura, Masato; Miura, Tomoaki; Kanemoto, Kenichi; Yoshida, Satoshi; Kumura, Kou; Ajito, Keiichi
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Meiji Seika

Kaisha, Ltd.
SOURCE: PCT Int. Appl., 215pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009139181	A1	20091119	WO 2009-JP2135	20090515

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2008-127832 A 20080515
JP 2009-24457 A 20090205

OTHER SOURCE(S): MARPAT 151:571290
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

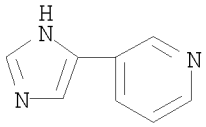
AB There are disclosed 10a-azalide compds. (erythromycin analogs) which are effective against a bacterium Haemophilus influenzae (an influenza bacterium) or an erythromycin-resistant bacterium (e.g., resistant pneumococcus or streptococcus) and has a novel structure. The 10a-azalide compds. are represented by formula [I; R2 and R3 together represent oxo; one of R2 and R3 = H, and the other = (un)protected OH, X031-R031, Q; X031 = O, OC(O), (un)substituted OC(O)NH; R031 = group B; group B = each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, aryl, heterocyclyl, or biaryl; one of R32 and R33 = H, and the other = H, each (un)protected OH or NH2, X331-R331, etc.; X331 = O, OC(O), each (un)substituted OC(O)NH, NH, NHCO, or OC(S)NH; R331 = group B; or one of R32 and R33 = OH and the other = X335-R332, etc.; X335 = each N-(un)substituted CH2NH, CH2NHCO, CH2NHCO2, or CH2NHCONH, CH2O, etc.; R332 = group B; or R32 and R33 represent oxo, (un)protected oxime, etc.; R4 = H, OR041, CH2CH(OH)CH2NHR041, etc.; R041 = group B; or R4 and R6 together form (un)substituted cyclic carbamate; one of R5 and R6 = H and the other = H, each (un)protected OH or NH2, X051-R051, etc.; X051 = O, each (un)substituted OC(O)NH, NH, or NHCO; R051 = group B; or R5 and R6 together represent = oxo, (un)substituted oxime, substituted :NH; R7 = H, HO-protecting group; R8, R9 = H, C1-6 alkyl, NH2-protecting group], or salts thereof or hydrates or solvates thereof and have a 4-membered ring structure cross-linked at position-10a and position-12. Thus, a solution of 476 mg N-Ethyl-N-[(1S)-1-(2-methoxyphenyl)ethyl]ethane-1,2-diamine in 1.5 mL ethanol was added to 300 mg 4''-epoxy compound (II; R = Q1) and heated at 120° under microwave irradiation with stirring for 15 min to give 292 mg II (R = Q2). II (R = Q2) showed min. inhibitory concentration of 4, 4, 0.03,

and 0.12 μg/mL against H. influenzae ATCC43095, H. influenzae Rd, Streptococcus pneumoniae ATCC49619, and S. pneumoniae ATCC700904, resp.

IT 51746-85-1, 3-(1H-Imidazol-4-yl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of erythromycin 10a-azalide analog having azetidine as antibacterial agents)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:789475 CAPLUS

DOCUMENT NUMBER: 151:278789

TITLE: Conceptual DFT properties-based 3D QSAR: Analysis of inhibitors of the nicotine metabolizing CYP2A6 enzyme

AUTHOR(S): Van Damme, Sofie; Bultinck, Patrick

CORPORATE SOURCE: Department of Inorganic and Physical Chemistry, Ghent University, Ghent, B-9000, Belg.

SOURCE: Journal of Computational Chemistry (2009), 30(12), 1749-1757
CODEN: JCCHDD; ISSN: 0192-8651

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal

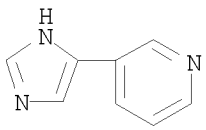
LANGUAGE: English

AB Structure-activity relationships of 46 P 450 2A6 inhibitors were analyzed using the 3D-QSAR methodol. The anal. was carried out to confront the use of traditional steric and electrostatic fields with that of a number of fields reflecting conceptual DFT properties: electron d., HOMO, LUMO, and Fukui f- function as 3D fields. The most predictive models were obtained by combining the information of the electron d. with the Fukui f- function (r2 = 0.82, q2 = 0.72), yielding a statistically significant and predictive model. The generated model was able to predict the inhibition potencies of an external test set of five chems. The result of the anal. indicates that conceptual DFT-based mol. fields can be useful as 3D QSAR mol. interaction fields. .COPYRGT. 2008 Wiley Periodicals, Inc.J Comput Chem 2009.

IT 51746-85-1
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conceptual DFT properties-based QSAR of CYP2A6 enzyme inhibitors)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

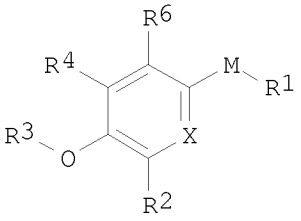


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:647406 CAPLUS
DOCUMENT NUMBER: 151:8304
TITLE: Biaryls as PDE4 inhibitors for treating inflammation and their preparation and pharmaceutical compositions
INVENTOR(S): Singh, Jasbir; Gurney, Mark E.; Burgin, Alex; Sandanayaka, Vincent; Kiselyov, Alexander; Motta, Adalie; Schultz, Gary; Hategan, Georgeta; Hagen, Timothy
PATENT ASSIGNEE(S): Decode Genetics Ehf, Iceland
SOURCE: PCT Int. Appl., 465pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009067600	A2	20090528	WO 2008-US84193	20081120
WO 2009067600	A3	20090730		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20090136473	A1	20090528	US 2008-275152	20081120
US 20090324569	A1	20091231	US 2008-275163	20081120
PRIORITY APPLN. INFO.:			US 2007-989551P P	20071121

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 151:8304
GI



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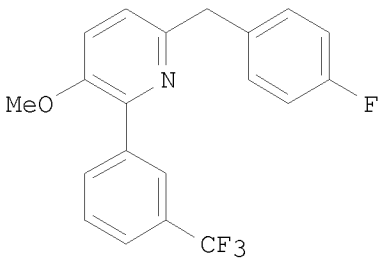


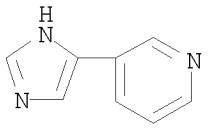
II

AB The invention relates to biaryl compds. of formula I containing at least one further ring. The compds. of formula I are PDE4 inhibitors useful for the treatment and prevention of stroke, myocardial infarct and cardiovascular inflammatory diseases and disorders. Compds. of formula I wherein R1 and R2 are independently(un)substituted carbocycle and (un)substituted heterocycle; R3 is H, CONH2, C1-6 (halo)alkyl, etc.; R4 is H, and F; R6 is H, C1-6 alkyl and halo; X is N, NO, CR5; R5 is H, OH, C1-6 alkyl, C1-6 alkoxy, etc.; M is a bond, (un)substituted methylene, O, NH and derivs., CO, etc.; and salts thereof, are claimed. Example compound II was prepared by bromination of 6-methylpyridin-3-ol; the resulting 2-bromo-6-methylpyridin-3-ol underwent methylation to give 2-bromo-3-methoxy-6-methylpyridine, which underwent cross-coupling with 3-trifluoromethylphenylboronic acid to give 3-methoxy-6-methyl-2-(3-trifluoromethylphenyl)pyridine, which underwent bromination to give 6-bromomethyl-3-methoxy-2-(3-trifluoromethylphenyl)pyridine, which underwent cross-coupling with 4-fluorophenylboronic acid to give compound II. All the invention compds. were evaluated for their PDE4 inhibitory activity (some data given).

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of biaryls as PDE4 inhibitors useful in the treatment of inflammation)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:179837 CAPLUS

DOCUMENT NUMBER: 150:237835

TITLE: Preparation of 10a-azalide compound crosslinked at position-10a and position-12 as antibacterial agents

INVENTOR(S): Sugimoto, Tomohiro; Yamamoto, Kanako; Kurosaka, Jun; Sasamoto, Naoki; Kashimura, Masato; Miura, Tomoaki; Kanemoto, Kenichi; Ozawa, Tomohiro; Chikauchi, Ken; Shitara, Eiki

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Meiji Seika Kaisha, Ltd.

SOURCE: PCT Int. Appl., 294pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009019868	A1	20090212	WO 2008-JP2129	20080806

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,

ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: JP 2007-203769 A 20070806
OTHER SOURCE(S): MARPAT 150:237835
GI

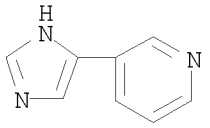
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB There are disclosed macrolide glycosides represented by the formula [I; R1 = H, halo; CR2R3 = CO; or one of R2 and R3 = H and the other = (un)protected HO, X031-R031, Q; X031 = O, OC(O), (un)substituted OC(O)NH; R031 = each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, aryl, heterocyclyl, or biaryl; one of R32 and R33 = H and the other = H, each (un)protected HO or NH2, X331-R331, etc.; X331 = O, OC(O), each (un)substituted OC(O)NH, NH, or NHCO; R331 = groups listed in R031; or one of R2 and R3 = H and the other together with R4 form Q1; R15 = (un)substituted biaryl-C1-6 alkyl; R4 = H, R041, CH2CH(OH)CH2NHR041; R041 = groups listed in R031; one of R5 and R6 = H and the other = H, (un)protected HO or NH2, X051-R051, etc.; X051 = O, each (un)substituted OC(O)NH, NH, or NHCO; R051 = groups listed in R031; or CR5R6 = CO, (un)protected C:NOH, :N-X053-R052, etc.; X053 = O, CO; R052 = groups listed in R031; ring A = Q2, Q3; R7, R8 = H, X071-R071; X071 = single bond, each N-(un)substituted A072-NH, A072-NHCO, or A072-NHCO2, etc.; A072 = divalent C1-10 aliphatic hydrocarbon group; R071 = groups listed in R031; R9, R10 = H, each (un)protected HO or NH2, N3, halo, etc.; R11 = H, (un)protected HO; R12, R13 = H, C1-6 alkyl, (un)protected NH2] or salts, hydrates, or solvates thereof. These compds. are effective against an influenza bacterium or an erythromycin-resistant bacterium (e.g., an erythromycin-resistant pneumococcal or streptococcal bacterium). Thus, (R)-2-(oxiran-2-yl)ethyl methanesulfonate, the intermediate (II), and ytterbium triflate were dissolved in THF and stirred at 80° for 20 min under microwave irradiation to give the pyrrolidine intermediate which underwent cyclization by treatment with 4-dimethylaminopyridine and 2-methyl-6-nitrobenzoic anhydride in CH2Cl2 for 7.5 h at room temperature followed by desilylation with HF-pyridine complex at room temperature for 23 h to give the compound (III; R = H). The compound III (R = H) and III (R = Q4) showed min. inhibitory concentration of 0.5 and 8 μg/mL, resp., against Haemophilus influenzae ATCC43095, 0.12 μg/mL against Streptococcus pneumoniae ATCC49619, and >128 and 0.25 μg/mL, resp., against S. pneumoniae ATCC700904.

IT 51746-85-1, 3-(1H-Imidazol-4-yl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 10a-azalide compound crosslinked at position-10a and
position-12 as antibacterial agents)

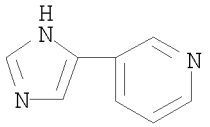
RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:34743 CAPLUS
DOCUMENT NUMBER: 151:361421
TITLE: Preparation for the side chain of telithromycin
AUTHOR(S): Huang, Yan; Yang, Jian
CORPORATE SOURCE: Institute of Pharmaceutical Engineering, College of Material Science and Chemical Engineering, Zhejiang University, Hangzhou, Zhejiang Province, 310027, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (2007), 38(6), 409-410
CODEN: ZYGZEA; ISSN: 1001-8255
PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB 4-[4-(3-Pyridinyl)-1H-imidazol-1-yl]-1-butanamine, the side chain of telithromycin, was synthesized from 3-acetylpyridine via bromination, cyclization, condensation with N-(4-bromobutyl)phthalimide and hydrazinolysis. The overall yield was 31%.
IT 51746-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation for the side chain of telithromycin)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1425085 CAPLUS
DOCUMENT NUMBER: 150:20102
TITLE: Preparation of aryloxazolidinone derivatives for use as antiinfective agents
INVENTOR(S): Takhi, Mohamed; Das, Jagattaran; Iqbal, Javed; Selvakumar, Natesan; Kandepu, Sreenivas; Kumar, M. Sitaram
PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Limited, India; Dr. Reddy's Laboratories, Inc.
SOURCE: PCT Int. Appl., 165pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

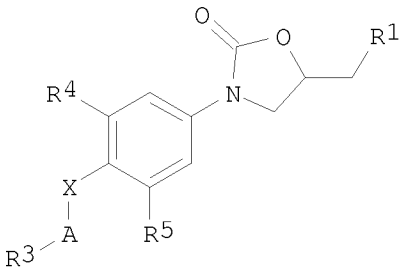
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008143649	A2	20081127	WO 2007-US24843	20071204
WO 2008143649	A3	20090115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-872640P P 20061204
OTHER SOURCE(S): CASREACT 150:20102; MARPAT 150:20102

GI



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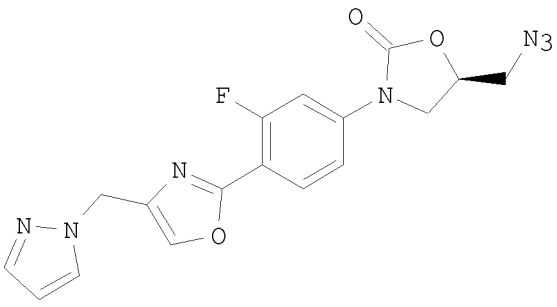


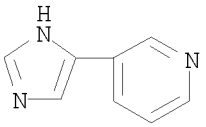
II

AB Title compds. I [A = (CH2)n or (CHOH)n; X = heterocyclic aromatic moiety containing 1 to 3 atoms selected from N, O, and S; R1 = OH, N3, alkyl, etc.; R3 = (un)substituted heteroaryl containing at least one N atom; R4 and R5 independently = H or F; n = 1 to 5; with provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as

antiinfective agents. Thus, e.g., II was prepared by protection of benzyl [3-fluoro-4-(hydroxymethyloxazol-2-yl)phenyl]carbamate (preparation given) with tert-butyldimethylsilyl chloride followed by deprotection, cyclization with R-(-)-glycidyl butyrate, sulfonylation with methanesulfonyl chloride, azidation, deprotection, chlorination, and substitution with pyrazole. Select I were evaluated in antibacterial activity MIC assays (data given).

IT 51746-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryloxazolidinone derivs. for use as antiinfective agents)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:965034 CAPLUS
DOCUMENT NUMBER: 149:308073
TITLE: Method for preparing telithromycin by semisynthesis
INVENTOR(S): Lu, Lingjiang; Wei, Feng; Tang, Yuanyou; Luo, Shizhong; Lin, Xiaoqin; Yang, Yongrong
PATENT ASSIGNEE(S): Chengdu Wins Chemicals Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

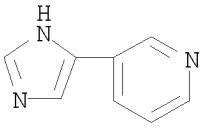
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101235063	A	20080806	CN 2007-10048383	20070202

PRIORITY APPLN. INFO.: CN 2007-10048383 20070202
OTHER SOURCE(S): CASREACT 149:308073

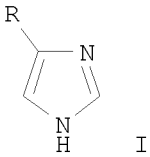
AB The title method comprises: (1) preparing side chain key intermediate compound 4-[4-(pyridin-3-yl)imidazol-1-yl]butylamine (I) from 3-(2-mercaptoimidazole-2-yl)pyridine in the presence of Fe-V-Ti-ZSM-5 and hydrogen peroxide, (2) performing a reaction of I with benzoyl-modified erythromycin derivative, and removing benzoyl group to obtain telithromycin. The method has mild condition, high yield of product, simple operation and low cost, and is suitable for mass production Crystallization instead of chromatog.
is utilized to purify compound, so the cost and equipment investment can be reduced.

IT 51746-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of telithromycin by semisynthesis)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



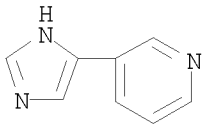
L7 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:908848 CAPLUS
DOCUMENT NUMBER: 149:288724
TITLE: Structure Based Development of Phenylimidazole-Derived Inhibitors of Indoleamine 2,3-Dioxygenase
AUTHOR(S): Kumar, Sanjeev; Jaller, Daniel; Patel, Bhumika; LaLonde, Judith M.; DuHadaway, James B.; Malachowski, William P.; Prendergast, George C.; Muller, Alexander J.
CORPORATE SOURCE: Department of Chemistry, Bryn Mawr College, Bryn Mawr, PA, 19010, USA
SOURCE: Journal of Medicinal Chemistry (2008), 51(16), 4968-4977
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:288724
GI



I

AB Indoleamine 2,3-dioxygenase (IDO) is emerging as an important new therapeutic target for the treatment of cancer, chronic viral infections, and other diseases characterized by pathol. immune suppression. With the goal of developing more potent IDO inhibitors, a systematic study of 4-phenylimidazole (4-PI) derivs. was undertaken. Computational docking expts. guided design and synthesis efforts with analogs of 4-PI. In particular, three interactions of 4-PI analogs with IDO were studied: the active site entrance, the interior of the active site, and the heme iron binding. The three most potent inhibitors I (R = 4-HOC6H4, 3-HSC6H4, 4-HSC6H4) appear to exploit interactions with C129 and S167 in the interior of the active site. All three inhibitors are approx. 10-fold more potent than 4-PI. The study represents the first example of enzyme inhibitor development with the recently reported crystal structure of IDO and offers important lessons in the search for more potent inhibitors.
IT 51746-85-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, mol. modeling, and biol. evaluation of arylimidazoles as indoleamine dioxygenase inhibitors)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

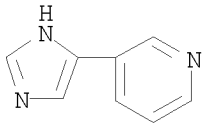
L7 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:873008 CAPLUS
DOCUMENT NUMBER: 149:223969
TITLE: Preparation of cephalosporin derivatives as antibiotic drugs
INVENTOR(S): Huang, Zhenhua
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 34pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CN 101220041	A	20080716	CN 2008-10002165		20080111
PRIORITY APPLN. INFO.:			CN 2007-10013129	A	20070112

OTHER SOURCE(S): CASREACT 149:223969; MARPAT 149:223969
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

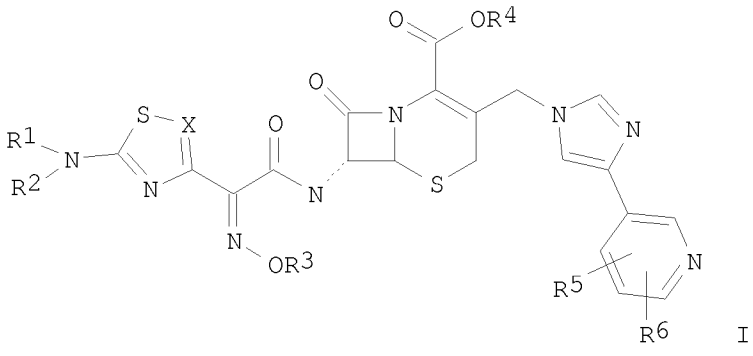
AB The title cephalosporin derivs. with general formula I [wherein R1 and R2 = independently H, an ester group, or a protected amino group; R3 = H or (un)substituted C1-6 alkyl; R4 = COOH, an ester group, or a pharmaceutically acceptable carboxylate; R5, R6, and R7 = independently H, halogen, amino, hydroxyl, carboxyl, (un)substituted C1-6 alkyl, or C1-6 alkoxyl; and X = N or CH] or pharmaceutically acceptable salts, esters, isomers, hydrates thereof were prepared as antibiotic drugs for the treatment of infective diseases. For example, compound II was prepared in a multi-step synthesis. Disodium salt of compound II showed antibacteria activities against Escherichia coli with MIC90 value of 2 μM/mL. Formulations containing I as active ingredients were also disclosed in the present invention.
IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cephalosporin derivs. as antibiotic drugs)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:816238 CAPLUS
DOCUMENT NUMBER: 149:176087
TITLE: Preparation and medical application of 7α-[2-hydroxylimino-2-(aromatic heterocyclic group)acetamido]-3-[4-(3-pyridinyl)-1H-imidazol-1-ylmethyl]-3-cephem-4-carboxylic acid derivative
INVENTOR(S): Huang, Zhenhua
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 24pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101210022	A	20080702	CN 2007-10300907	20071207
PRIORITY APPLN. INFO.:			CN 2006-10170933 A	20061230

OTHER SOURCE(S): CASREACT 149:176087; MARPAT 149:176087
GI



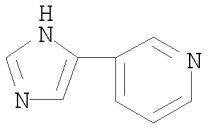
I

AB The title 7α-[2-hydroxylimino-2-(aromatic heterocyclic group)acetamido]-3-[4-(3-pyridinyl)-1H-imidazol-1-ylmethyl]-3-cephem-4-carboxylic acid derivative as shown in structure I (R1 and/or R2 = H or amino protective group; R3 = H; C1-6 alkyl halo, COOH, NH2, or OH (un)substituted C1-6 alkyl; C1-4 alkyl (un)substituted (C3-C6)-membered cycloalkyl, aryl, or arylalkyl, alkenyl, or alkynyl; R4 = H or COOH protective group; R5 and/or R6 = H, OH, halo, or C1-4 alkyl; and X = CH or N) is prepared from 3-chloromethyl-7-phenylacetamido-3-cephem-4-carboxylic acid 4-methoxybenzyl ester via substitution with 4-(3-pyridinyl)-1H-imidazole derivative, then N-acylation with 2-hydroxyliminoethanethioic acid S-(2-benzothiazolyl) ester derivative to provide the target product. The obtained compound, its

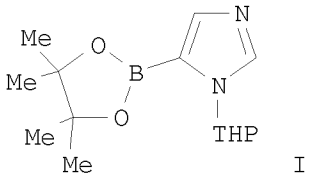
pharmaceutically-acceptable salt or, easily hydrolysable ester, isomer, or hydrate can be used for treating and/or preventing infectious diseases.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [hydroxylimino(aromatic heterocyclic group)acetamido][(pyridinyl)imidazolylmethyl]cephemcarboxylic acid derivative and medical application as antibacterial agent)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 11 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:463038 CAPLUS
DOCUMENT NUMBER: 149:9933
TITLE: A new boronic-acid based strategy to synthesize 4(5)-(het)aryl-1H-imidazoles
AUTHOR(S): Primas, Nicolas; Mahatsekake, Clement; Bouillon, Alexandre; Lancelot, Jean-Charles; Sopkova-de Oliveira Santos, Jana; Lohier, Jean-Francois; Rault, Sylvain
CORPORATE SOURCE: Centre d'Etudes et de Recherche sur le Medicament de Normandie, U.F.R des Sciences Pharmaceutiques, Universite de Caen Basse-Normandie, Caen, 14032, Fr.
SOURCE: Tetrahedron (2008), 64(20), 4596-4601
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:9933
GI



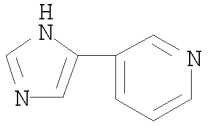
I

AB This paper describes the synthesis of a new N-THP protected 5-(1H)-imidazolyl boronic acid pinacol ester (I) and its use in Suzuki cross-coupling reactions with a wide range of (het)aryl halides to provide 4(5)-(het)aryl-1H-imidazoles.

IT 51746-85-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 4(5)-(het)aryl-1H-imidazoles by Suzuki cross-coupling reactions of N-THP protected 5-(1H)-imidazolyl boronic acid pinacol ester with aryl halides)

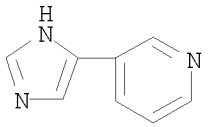
RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:367806 CAPLUS
DOCUMENT NUMBER: 150:260045
TITLE: Synthesis of 4-(3-pyridinyl)-1H-imidazole-1-butanamine
AUTHOR(S): Cao, Zhi-ling; Yao, Guo-wei; Liang, Jian-hua; Yang, Xin-lin
CORPORATE SOURCE: Beijing Institute of Technology, School of Life Science and Technology, Beijing, 100081, Peop. Rep. China
SOURCE: Beijing Ligong Daxue Xuebao (2007), 27(Suppl. 2), 33-36
CODEN: BLXUEV; ISSN: 1001-0645
PUBLISHER: Beijing Ligong Daxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 150:260045
AB A method for the synthesis of the title compound [i.e., 4-[4-(3-pyridinyl)-1H-imidazol-1-yl]-1-butanamine] is reported here. A key intermediate [i.e., 3-(1H-imidazol-4-yl)pyridine sodium salt] was treated with 2-(4-bromobutyl)-1H-isoindole-1,3(2H)-dione to provide 2-[4-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]-1H-isoindole-1,3(2H)-dione (overall yield 54%). A subsequent hydrazinolysis of the latter provided the above-mentioned title compound Said synthetic method is practical and efficient for its mild reaction conditions and provides the product in high yield and purity.
IT 51746-85-1P, 3-(1H-Imidazol-4-yl)pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (pyridinyl)imidazolebutanamine via synthetic sequence involving formylation of amino(pyridinyl)ethanone, heterocyclization, alkylation and hydrazinolysis)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

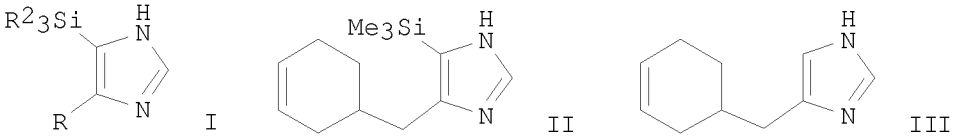


L7 ANSWER 13 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1213114 CAPLUS

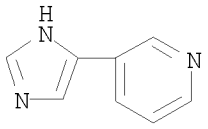
DOCUMENT NUMBER: 147:469344
TITLE: Process for the synthesis of silylated imidazoles
INVENTOR(S): Dolby, Lloyd J.; Esfandiari, Shervin; Garst, Michael E.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Ser. No. 623,693.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070249843	A1	20071025	US 2007-744564	20070504
US 20050101785	A1	20050512	US 2003-706474	20031111
US 7183305	B2	20070227		
US 20070185332	A1	20070809	US 2007-623693	20070116
US 7598394	B2	20091006		

PRIORITY APPLN. INFO.: US 2003-706474 A2 20031111
US 2007-623693 A2 20070116
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:469344
GI



AB The invention provides a process for the preparation of silylated imidazoles I [wherein R = (un)substituted aryl, alkyl, alkenyl or alkynyl; each R2 independently = H or alkyl] by reacting cyano compds. with silylalkyl isocyanides. For instance, t-BuOK-mediated cyclization of trimethylsilylmethyl isocyanide (preparation given) with 3-cyclohexene-1-acetonitrile in dimethoxyethane led to a mixture of silylated imidazole II and the corresponding imidazole III. Desilylation of II with KF gave III in an overall yield of 52%.
IT 51746-85-1
RL: PRPH (Prophetic)
(Process for the synthesis of silylated imidazoles)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



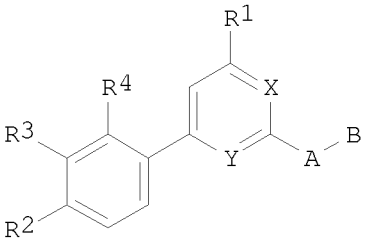
L7 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1120279 CAPLUS

DOCUMENT NUMBER: 147:427362
TITLE: Pyridine and pyrimidine derivatives as mGluR2 antagonists and their preparation, pharmaceutical compositions and use in the treatment of CNS disorders
INVENTOR(S): Gatti Mcarthur, Silvia; Goetschi, Erwin; Wichmann, Juergen; Woltering, Thomas Johannes
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

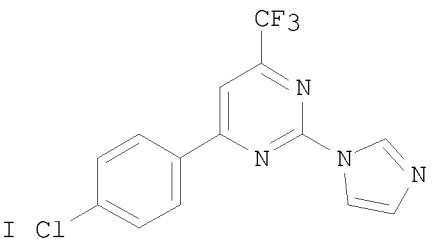
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007110337	A1	20071004	WO 2007-EP52560	20070319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007229552	A1	20071004	AU 2007-229552	20070319
CA 2646732	A1	20071004	CA 2007-2646732	20070319
EP 2001849	A1	20081217	EP 2007-727038	20070319
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009531370	T	20090903	JP 2009-502014	20070319
US 20070232583	A1	20071004	US 2007-726575	20070322
US 7642264	B2	20100105		
NO 2008003919	A	20081219	NO 2008-3919	20080915
MX 2008012413	A	20081007	MX 2008-12413	20080926
CN 101415681	A	20090422	CN 2007-80012002	20081006
IN 2008CN05773	A	20090327	IN 2008-CN5773	20081024
KR 2008108316	A	20081212	KR 2008-726376	20081028
US 20090318474	A1	20091224	US 2009-551625	20090901

PRIORITY APPLN. INFO.:			EP 2006-111939	A 20060329
			WO 2007-EP52560	W 20070319
			US 2007-726575	A3 20070322

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:427362
GI



I



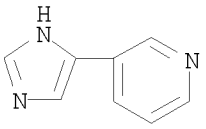
II

AB The invention relates to compds. of formula I, a process for the manufacture thereof, their use for the preparation of medicaments for treating CNS disorders and pharmaceutical compns. containing them. Compds. of formula I wherein one of X and Y is N and the other is CN, or both Y and Y are N; A is (un)substituted aryl and (un)substituted 5- to 6-membered heteroaryl; B is H, CN, (un)substituted aryl and (un)substituted 5- to 6-membered heteroaryl; R1 is H, halo, C1-6 alkyl; R2 is H, CN, halo, C1-6 (halo)alkyl, C1-6 (halo)alkoxy and C3-6 cycloalkyl; R3 is H, halo, C1-6 (halo)alkoxy, C1-6 (halo)alkyl, C3-6 cycloalkyl, and NH2 and derivs.; R4 is H and halo; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by nucleophilic aromatic substitution of 2-chloro-4-(chlorophenyl)-6-trifluoromethylpyrimidine with imidazole. All the invention compds. were evaluated for their mGluR2 antagonistic activity. From the assay, it was determined that compound II exhibited Ki value 0.074 μM.

IT 51746-85-1, 3-(1H-Imidazol-4-yl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of pyridine and pyrimidine derivs. as mGluR2 antagonists useful in the treatment of CNS disorders)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:993930 CAPLUS

DOCUMENT NUMBER: 147:385977

TITLE: Method for preparing 4-(3-pyridyl)-1H-imidazole-1-butylamine from 3-(imidazolidin-4-yl)pyridine

INVENTOR(S): Chen, He; Fu, Zhaolin; Wang, Donge; Ren, Xianjin

PATENT ASSIGNEE(S): Hec Group Co., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

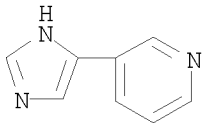
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101029045	A	20070905	CN 2007-10026677	20070202

PRIORITY APPLN. INFO.: CN 2007-10026677 20070202
OTHER SOURCE(S): CASREACT 147:385977

AB The title method comprises the steps of: (1) performing a reaction between 3-(imidazolidin-4-yl)pyridine and 4-bromobutylphthalimide catalyzed by weak inorg. base in solvent and inert atmospheric to obtain 2-[4-(4-(pyridin-3-yl)imidazolidin-1-yl)butyl]phthalimide, and (2) subjecting 2-[4-(4-(pyridin-3-yl)imidazolidin-1-yl)butyl]phthalimide to hydrazine hydrochloride and base to obtain 4-(3-pyridyl)-1H-imidazole-1-butylamine.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-(3-pyridyl)-1h-imidazole-1-butylamine from 3-(imidazolidin-4-yl)pyridine)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 16 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:993928 CAPLUS
DOCUMENT NUMBER: 147:406808
TITLE: Method for preparing 3-(4-imidazolyl)pyridine from 3-acetylpyridine
INVENTOR(S): Ren, Xianjin; Wang, Donge; Fu, Zhaolin; Chen, He
PATENT ASSIGNEE(S): Hec Group Co., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 9pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101029044	A	20070905	CN 2007-10026676	20070202
CN 100494191	C	20090603		

PRIORITY APPLN. INFO.: CN 2007-10026676 20070202
OTHER SOURCE(S): CASREACT 147:406808

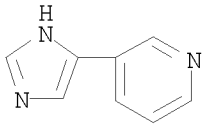
AB The title method comprises the steps of: (1) performing a reaction between 3-acetylpyridine and hydroxylamine hydrochloride in the presence of inorg. base to obtain 3-acetylpyridine oxime, (2) performing a reaction between 3-acetylpyridine oxime and p-toluenesulfonyl chloride to obtain O-toluenesulfonyl-3-acetylpyridine oxime, (3) reacting with base, neutralizing excess base with acid, and performing ring-opening reaction with acid to obtain 3-(α-aminoacetyl)pyridine hydrochloride, (4)

reacting with potassium thiocynate in the presence of catalyst under inert gas protection to obtain 3-(2-thioimidazolidin-4-yl)pyridine hydrochloride, and (5) oxidizing to obtain 3-(4-imidazolyl)pyridine. The method has the advantages of stable reactions and simple operation, and is suitable for industrial production

IT 51746-85-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3-(4-imidazolyl)pyridine from 3-acetylpyridine)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 17 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:906819 CAPLUS

DOCUMENT NUMBER: 147:301394

TITLE: Preparation of 10a-azalide compounds having erythromycin-like skeletons as antibacterial agents

INVENTOR(S): Sugimoto, Tomohiro; Yamamoto, Kanako; Manaka, Akira; Ogita, Haruhisa; Kurosaka, Jun; Kawamura, Madoka; Kashimura, Masato; Sasamoto, Naoki; Miura, Tomoaki; Kanemoto, Kenichi; Ozawa, Tomohiro; Chikauchi, Ken; Shitara, Eiki; Kubota, Dai

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Meiji Seika Kaisha, Ltd.

SOURCE: PCT Int. Appl., 483pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007091393	A1	20070816	WO 2007-JP68	20070207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1985620	A1	20081029	EP 2007-706316	20070207
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090281292	A1	20091112	US 2008-223675	20081215
PRIORITY APPLN. INFO.:			JP 2006-30207 A	20060207

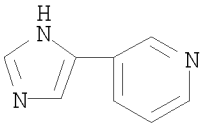
JP 2007-20213 A 20070130
WO 2007-JP68 W 20070207
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:301394
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, halo, (un)substituted C1-10 alkyl; R2 and R3 together represent oxo; or one of R2 and R3 = H, and the other = H, (un)protected OH, -X031-R031, Q, etc.; X031 = O, O-CO, O-CO2, (un)substituted OCONH; one of R32 and R33 = H, and the other = H, (un)protected, NH2, etc.; R4 = H, CONHCO2Me, -X041-R041, etc.; X041 = single bond, CO, (un)substituted CONH, CO2; or R4 and R6 form a cyclic carbonate group (CO2) ; X041 = CO, CONH, CO2, etc.; one of R5 and R6 = H and the other = H, each (un)protected HO or NH2, halo, or OCONH2, etc. or it forms a cyclic carbamate (OCO) with R7; or R5 and R6 together form oxo, oxime, :NNH2, etc.; R7 = H, HO, NH2-protecting group, or -X071-R071, etc., or it forms a cyclic carbamate (CO2CH2) with R10; X071 = single bond, O, CO, CO2, SO2; R8, R9 = H, -X081-R081, etc.; R10, R11 = H, -X101-R101, etc.; R12 = H, HO-protecting group, -X121-R121, etc.; R13, R14 = H, NH2-protecting group, -X131-R131, etc.; X081, X101, X121, X131 = single bond, CO, CO2, (un)substituted CONH; R15 = H, (un)protected OH, -X151-R151, etc.; X151 = single bond, OCO, OCO2, (un)substituted OCONH; R031, R041, R071, R081, R121, R131, R151 = each (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, or C3-10 cycloalkyl, etc.] or pharmaceutically acceptable salts or solvates thereof are prepared These macrolide compds. have novel structures and are effective against an influenza bacterium and an erythromycin-resistant bacterium (e.g., an erythromycin-resistant pneumococcal or streptococcal bacterium), as well as a conventional erythromycin-sensitive bacterium, and therefore can be used as therapeutic agents for infectious diseases. Thus, selective desilylation of compound (II; R = R1 = R2 = Et3Si) by treatment with a mixture of 1 N aqueous HCl son. and ethanol at room temperature for 30 min gave II (R = R1 = Et3Si, R2 = H) which was stirred with N,N'-carbonyldiimidazole and NaH in DMF under ice-cooling for 1 h to give II (R = R1 = Et3Si, R2 = imidazol-1-ylcarbonyl) (III). Condensation with III with N-ethyl-N-[(1S)-1-(2-methoxyphenyl)ethyl]ethane-1,2-diamine (preparation given) followed by desilylation with HF-pyridine complex in THF at room temperature for 15 h gave II (R = R1 = H, R2 = Q1) (IV). IV showed min. inhibitory concentration of μg/mL against of 4, 0.06, and 0.03 μg/mL against Haemophilus influenzae ATCC43095, Streptococcus pneumoniae ATCC49619, and S. pneumoniae 205, resp., as compared to 4, 0.03, and >128 μg/mL, resp., for clarithromycin.

IT 51746-85-1, 3-(1H-Imidazol-4-yl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 10a-azalide compds. having erythromycin-like skeletons as antibacterial agents)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

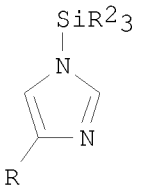


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:874414 CAPLUS
DOCUMENT NUMBER: 147:235174
TITLE: Process for the synthesis of imidazoles
INVENTOR(S): Dolby, Lloyd J.; Esfandiari, Shervin; Garst, Michael E.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 9 pp., Cont.-in-part of U.S. Ser. No. 706,474.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070185332	A1	20070809	US 2007-623693	20070116
US 7598394	B2	20091006		
US 20050101785	A1	20050512	US 2003-706474	20031111
US 7183305	B2	20070227		
US 20070249843	A1	20071025	US 2007-744564	20070504

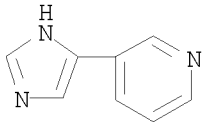
PRIORITY APPLN. INFO.: US 2003-706474 A2 20031111
US 2007-623693 A2 20070116
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 147:235174; MARPAT 147:235174
GI



I

AB The present invention provides a process for the preparation of imidazoles I (R = aryl, alkyl, alkenyl, alkynyl containing O, N, S, P; R2 = H, C1-6 alkyl) by reacting a cyano compound with a silylalkyl isocyanide compound Such imidazoles are useful pharmacol.-active compds. and/or intermediates for the preparation of pharmacol.-active compds.
IT 51746-85-1

RL: PRPH (Prophetic)
(Process for the synthesis of imidazoles)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



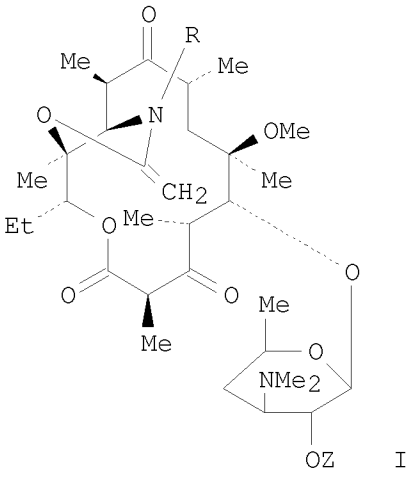
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:370173 CAPLUS
DOCUMENT NUMBER: 146:442025
TITLE: Preparation of macrolide erythromycin derivatives as antibacterial agents
INVENTOR(S): Agouridas, Constantin; Chantot, Jean-Francois; Denis, Alexis; Pejac, Jean-Marie
PATENT ASSIGNEE(S): Fr.
SOURCE: Hung. Pat. Appl., 20pp.
CODEN: HUXXCV
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 9903424	A2	20000328	HU 1999-3424	19970723
HU 9903424	A3	20010428		
FR 2751656	A1	19980130	FR 1996-9285	19960724
FR 2751656	B1	19981016		
WO 9803530	A1	19980129	WO 1997-FR1372	19970723

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 1996-9285 A 19960724
WO 1997-FR1372 W 19970723
OTHER SOURCE(S): MARPAT 146:442025
GI



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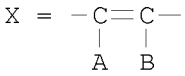
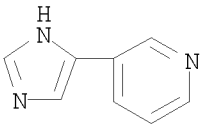


AB Macrolide erythromycin derivs. I, wherein R is H, alkyl, halogen, (CH2)mAr, (CH2)nX(CH2)pAr; m is 1-8; n and p are independently 0-6; A and B are independently H, halogen, alkyl; Ar is aryl, heteroaryl; Z is H, carboxylic acid, were prepared and tested in vitro as antibacterial agents. Thus, 11,12-dideoxy-3-de((2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribohexopyranosyl)oxy)-6-O-methyl-3-oxo-12,11-(oxycarbonyl(3-(4-(3-pyridinyl)-1H-imidazol-1-yl)propoxy)imino)-erythromycin was prepared and tested in vitro as antibacterial agent.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of macrolide erythromycin derivs. as antibacterial agents)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:113558 CAPLUS

DOCUMENT NUMBER: 146:206308

TITLE: Preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists.

INVENTOR(S): Brooks, Carl; Cleary, Pamela A.; Goodman, Krista B.; Peace, Simon; Philp, Joanne; Sehon, Clark A.; Smethurst, Christian; Watson, Stephen Paul

PATENT ASSIGNEE(S): Glaxo Group Limted, UK

SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007014054	A2	20070201	WO 2006-US28419	20060721
WO 2007014054	A3	20071115		

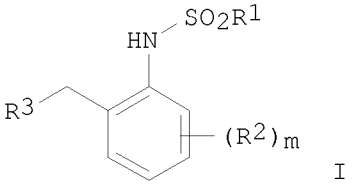
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: GB 2005-15194 A 20050722
GB 2005-19492 A 20050923

OTHER SOURCE(S): CASREACT 146:206308; MARPAT 146:206308

GI

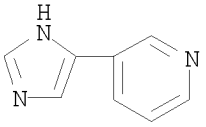


I

AB Title compds. [I; R1 = (substituted) aryl, thienyl, benzothienyl, imidazolyl, pyridyl, isoquinolinyl, piperonyl, benxoxathiadiazolyl, benzodiazolyl; m = 1-3; R2 = halo, cyano, OCF3, CF3; R3 = (substituted) heteroaryl, heterocycloalkyl], were prepared as CCR2 chemokine receptor antagonists (no data). Thus, [5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]amine (preparation given) in pyridine was treated with 4-dimethylaminopyridine and 3,4-dichlorobenzoyl chloride followed by heating of the mixture at 90° for 4 h to give 3,4-dichloro-N-[5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]benzenesulfonamide.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

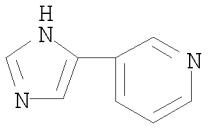


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L7 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:1168189 CAPLUS
DOCUMENT NUMBER: 146:19373
TITLE: Synthetic Inhibitors of Cytochrome P-450 2A6: Inhibitory Activity, Difference Spectra, Mechanism of Inhibition, and Protein Cocrystallization
AUTHOR(S): Yano, Jason K.; Denton, Travis T.; Cerny, Matthew A.; Zhang, Xiaodong; Johnson, Eric F.; Cashman, John R.
CORPORATE SOURCE: Human BioMolecular Research Institute, San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(24), 6987-7001
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:19373

AB A series of 3-heteroarom. analogs of nicotine were synthesized to delineate structural and mechanistic requirements for selectively inhibiting human cytochrome P 450 (CYP) 2A6. Thiophene, substituted thiophene, furan, substituted furan, acetylene, imidazole, substituted imidazole, thiazole, pyrazole, substituted pyrazole, and aliphatic and isoxazol moieties were used to replace the N-methylpyrrolidine ring of nicotine. A number of potent inhibitors were identified, and several exhibited high selectivity for CYP2A6 relative to CYP2E1, -3A4, -2B6, -2C9, -2C19, and -2D6. The majority of these inhibitors elicited type II difference spectra indicating the formation of a coordinate covalent bond to the heme iron. The majority of inhibitors were reversible inhibitors although several mechanism-based inactivators were identified. Most of the inhibitors were also relatively metabolically stable. X-ray crystal structures of CYP2A6 cocrystd. with three furan analogs bearing methanamino side chains indicated that the amine side chain coordinated to the heme iron. The pyridyl moiety was positioned to accept a hydrogen bond from Asn297, and all three inhibitors exhibited orthogonal aromatic-aromatic interactions with protein side chains. For comparison, the cocrystal structure of 4,4'-dipyridyl disulfide was also obtained and showed that the pyridine moiety could assume a different orientation than that observed for the 3-heteroarom. pyridines examined For the 3-heteroromatic pyridines, N-Me and N,N-di-Me amino groups increased the apparent Ki and distorted helix I of the protein. Substitution of a Ph ring for the pyridyl ring also increased the apparent Ki, which is likely to reflect the loss of the hydrogen bonding interaction with Asn297. In contrast, inhibitory potency for other P450s was increased, and the selectivity of the Ph analogs for CYP2A6 was decreased relative to the pyridyl compds. The results suggest that inhibitors that compliment the active site features of CYP2A6 can exhibit significant selectivity for CYP2A6 relative to other human liver drug-metabolizing P450s.

IT 51746-85-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Synthetic Inhibitors of Cytochrome P 450 2A6: Inhibitory Activity, Difference Spectra, Mechanism of Inhibition, and Protein Cocrystn.)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

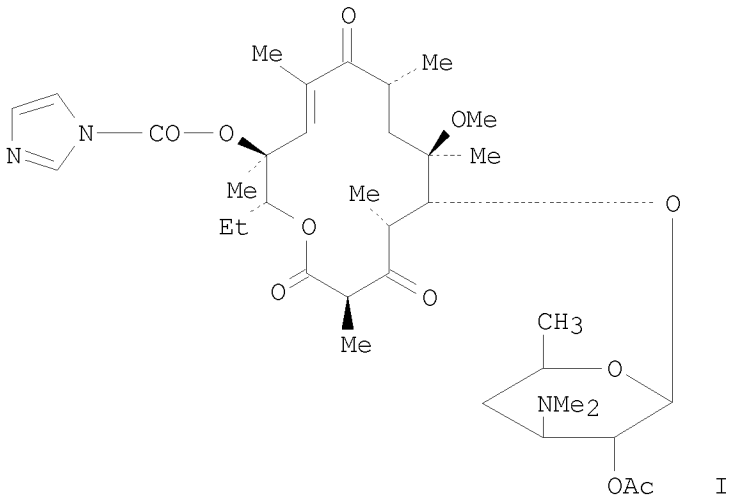


OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:700317 CAPLUS
DOCUMENT NUMBER: 145:249458
TITLE: Preparation of macrolide antibiotic telithromycin
INVENTOR(S): You, Qidong; Wei, Xin; Li, Zhiyu; Bi, Xiaoling; Guo, Qinglong
PATENT ASSIGNEE(S): China Pharmaceutical University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

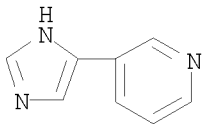
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1800198	A	20060712	CN 2006-10037850	20060118
CN 100424089	C	20081008		
PRIORITY APPLN. INFO.:			CN 2006-10037850	20060118

OTHER SOURCE(S): CASREACT 145:249458
GI



AB Telithromycin is prepared in six steps from 6-O-methylerythromycin via reaction of intermediate I with 4-(3-pyridinyl)-1H-imidazole-1-propanamine.
IT 51746-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of macrolide antibiotic telithromycin)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

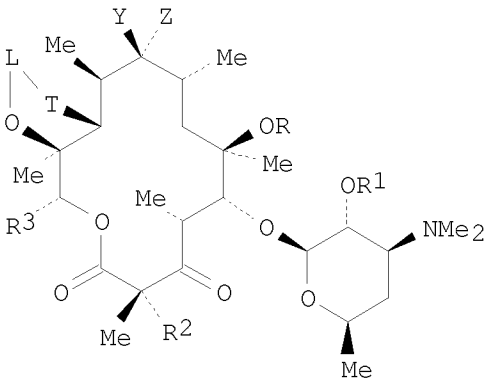


L7 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:412043 CAPLUS
DOCUMENT NUMBER: 144:450871
TITLE: Preparation of macrolide 9-alkyl- and 9-alkylidenyl-6-O-alkyl-11,12-carbamate ketolide clarithromycin derivatives as antibacterial agents
INVENTOR(S): Grant, Eugene B., III
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047167	A2	20060504	WO 2005-US37570	20051019
WO 2006047167	A3	20070301		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-970805 A 20041021
OTHER SOURCE(S): CASREACT 144:450871; MARPAT 144:450871
GI



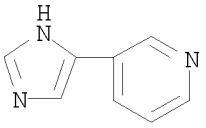
I

AB Title ketolides I, wherein R is (un)substituted Me, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl; R1 is H, hydroxy protecting group; R2 is H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocycle, aryl-alkyl, aryl-alkenyl, aryl-alkynyl, heterocycle-alkyl, heterocycle-alkenyl, heterocycle-alkynyl, cycloalkyl, cyclo-alkenyl, alkoxy-alkyl; one of Y and Z is OR4, wherein R4 is H, alkyl, alkenyl, alkynyl; Y and Z taken together form substituted alkene; T is O, NH, substituted nitrogen, alkylidene; T and Y form six- or seven-membered heterocycle ring having one nitrogen atom and one oxygen atom in the ring; L is methylene, carbonyl, provided that when L is methylene, T is O, were prepared as antibacterial agents. Thus, I (R = Me, R1 = COMe, R2 = H, R3 = Et, L = CO, T = NH, Y = OH, Z = CH=CH2) was prepared and tested in vitro as antibacterial agent (MIC = 0.03 to > 16 μg/mL). Thitle compds. have antimicrobial activity against susceptible and drug resistant Gram-pos. and Gram-neg. bacteria. In particular, they are useful as broad spectrum antibacterial agents for the treatment of bacterial infections in humans and animals. These compds. are particularly activity against S. aureus, S. epidermidis, S. pneumoniae, S. pyogenes, Enterococcus, Moraxella catarrhalis and H. influenzae. These compds. are particularly useful in the treatment of community-acquired pneumonia, upper and lower respiratory tract infections, skin and soft tissue infections, meningitis, hospital-acquired lung infections, and bone and joint infections.

IT 51746-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrolide 9-alkyl- and 9-alkylidenyl-6-O-alkyl-11,12-carbamate ketolide clarithromycin derivs. as antibacterial agents)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



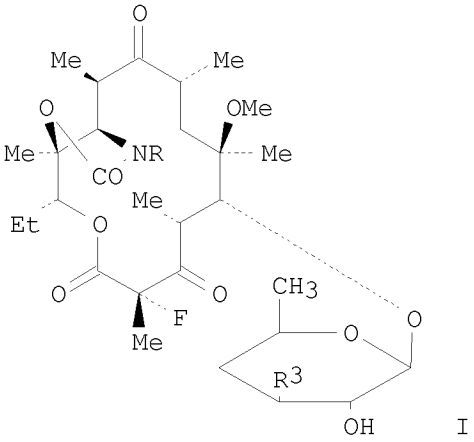
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:412029 CAPLUS
DOCUMENT NUMBER: 144:450870
TITLE: Ketolide derivatives as antibacterial agents
INVENTOR(S): Das, Biswajit; Salman, Mohammad; Kurhade, Santosh Haribhau; Venkataramanan, Ramadass; Kumar, Rajesh; Kapkoti, Gobind Singh; Katoch, Rita; Bandyopadhyay, Anish; Rattan, Ashok
PATENT ASSIGNEE(S): Ranbaxy Laboratories Ltd., India
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046112	A2	20060504	WO 2005-IB3181	20051025
WO 2006046112	A3	20060810		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2004DE02085	A	20090619	IN 2004-DE2085	20041025
EP 1807439	A2	20070718	EP 2005-810206	20051025
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN02638	A	20070803	IN 2007-DN2638	20070409
US 20090170790	A1	20090702	US 2009-577900	20090304
PRIORITY APPLN. INFO.:			IN 2004-DE2085 A	20041025
			WO 2005-IB3181 W	20051025

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:450870; MARPAT 144:450870
GI



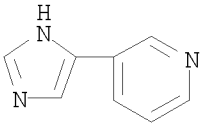
I

AB Ketolide derivs., such as I [R = L-R1; R1 = aryl, heteroaryl; R3 = amino groups, such as NHEt, NMeEt, NMeCH2CH:CH2; L = linking group, such as (CH2)4, (CH2)3O, NH, NH(CH2)3, NH(CH2)2CH(Me), NHCH2CH:CH], were prepared for therapeutic use in antibacterial pharmaceutical compns. for the treatment of bacterial infections. These ketolides can be used for the treating or preventing conditions caused by or contributed to by gram pos., gram neg. or anaerobic bacteria, more particularly against, for example, Staphylococci, Streptococci, Enterococci, Haemophilus, Moraxella spp., Chlamydia spp., Mycoplasm, Legionella spp., Mycobacterium, Helicobacter, Clostridium, Bacteroides, Corynebacterium, Bacillus, Enterobactericeae or any combination thereof. Thus, ketolide I [R = (CH2)3O-C6H4-4-R2, R2 = 3-pyridinyl, R3 = NMeEt] was prepared via a series of synthetic steps starting from clarithromycin, 2-[3-(3-bromophenoxy)propyl]isoindole-1,3(2H)-dione and 3-pyridinylboronic acid. The prepared ketolides were assayed for antibacterial activity against a number of the bacterial strains mentioned above.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of erythromycin A ketolide derivs. for use in pharmaceutical compns. as antibacterial agents)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

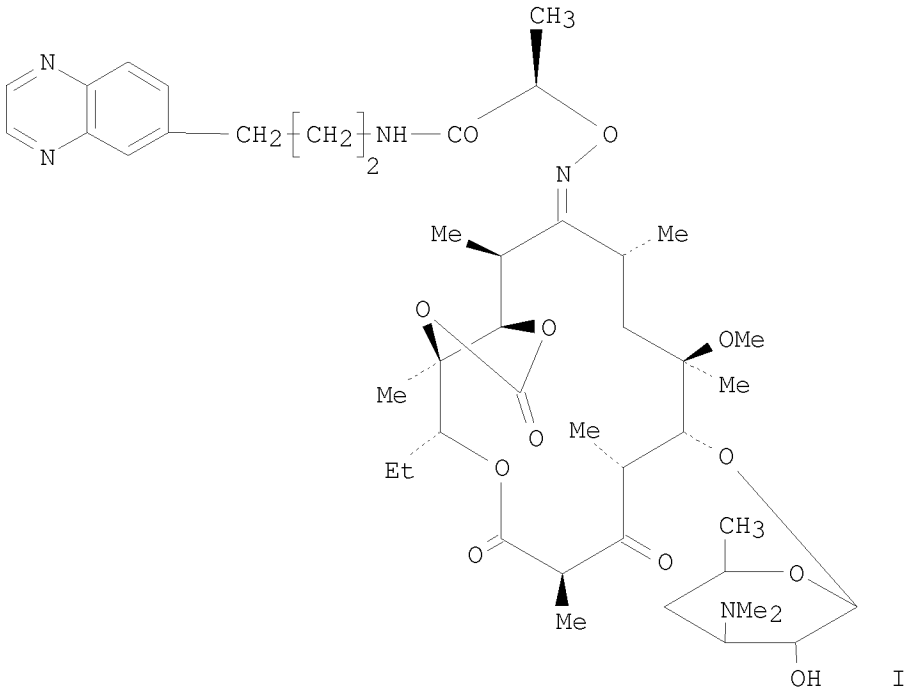
L7 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:391502 CAPLUS

DOCUMENT NUMBER: 145:75996

TITLE: A new type of ketolide bearing an N-aryl-alkyl

acetamide moiety at the C-9 iminoether: Synthesis and structure-activity relationships
AUTHOR(S): Nomura, Takashi; Iwaki, Tsutomu; Narukawa, Yukitoshi; Uotani, Koichi; Hori, Toshihiko; Miwa, Hideaki
CORPORATE SOURCE: Discovery Research Laboratories, Ltd, Shionogi & Co., Osaka, 553-0002, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(11), 3697-3711
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:75996
GI

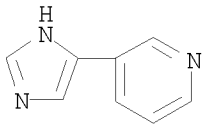


I

AB A new type of ketolide bearing an N-aryl-alkyl acetamide moiety at the C-9 iminoether and its analogs were prepared, and their antibacterial activities and pharmacokinetic properties were evaluated. The authors found that the introduction of an (R)-alkyl group between the amide and iminoether groups could improve the pharmacokinetic properties while maintaining the activity against erythromycin-resistant Streptococcus pneumoniae. Among the ketolides prepared with the (R)-alkyl group, compound (I) with an N-(3-quinoxalin-6-yl-propyl)-propionamide moiety was found to have in vivo efficacy comparable to CAM with potent in vitro antibacterial activities against the key respiratory pathogens including Hemophilus influenzae and erythromycin-resistant S. pneumoniae.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and structure-activity relationships of ketolides bearing an N-aryl-alkyl acetamide moiety at the C-9 iminoether)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:342903 CAPLUS
DOCUMENT NUMBER: 144:390904
TITLE: Phenyl-substituted oxazolidinone derivatives and their preparation, pharmaceutical compositions, and use as antimicrobials
INVENTOR(S): Das, Biswajit; Ahmed, Shahadat; Yadav, Ajay Singh; Ghosh, Soma; Gujrati, Arti; Sharma, Pankaj; Rattan, Ashok
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006038100	A1	20060413	WO 2005-IB2971	20051006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1799677	A1	20070627	EP 2005-801258	20051006
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN02639	A	20070803	IN 2007-DN2639	20070409
PRIORITY APPLN. INFO.:			US 2004-616964P P	20041008
			WO 2005-IB2971 W	20051006

OTHER SOURCE(S): CASREACT 144:390904; MARPAT 144:390904
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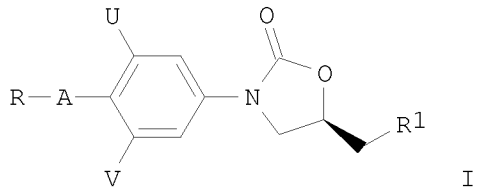

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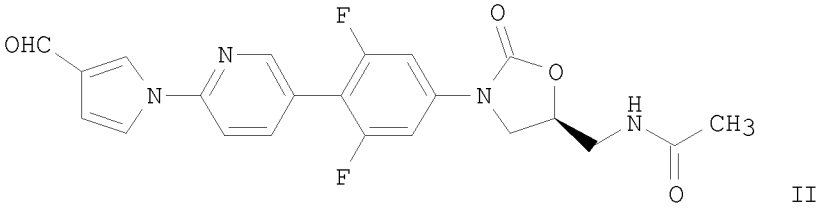


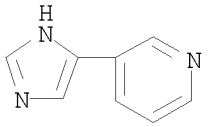
II

AB The invention relates to phenyl-substituted oxazolidinones I, or their pharmaceutically acceptable salts, solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites, as well as processes for their synthesis. In compds. I: A is pyridine-2,5-diyl, pyrimidine-2,5-diyl, furan-2,5-diyl, thiophene-2,5-diyl, and analogs; U and V are independently selected from H (both U and V cannot be H), lower alkyl, or halo; R is CH:NORf, CH:NOC(O)Rf, CH:NOSORf, CH:NOC(O)NHRf, heterocyclyl, or heteroaryl; Rf is H, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl; R1 is azido, NCS, NHYRf, NRjC(:T)NRfRq, NRfRq, NRj(C:O)ORs; Y is (C:O), (C:S), or SO2; T is O, S, N(CN), N(NO2), CH(NO2); Rj is H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroarylalkyl, or heterocyclylalkyl; Rq is H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl or heterocyclylalkyl; Rs is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroarylalkyl or heterocyclylalkyl; with the proviso that: when U is H, V is F, R is NHCOCH3 and A is pyridine-2,5-diyl, then R is a 5-membered heteroaryl ring containing two or four N atoms (wherein the 5-membered heteroaryl ring containing four N atoms is linked through an N-atom to pyridine-2,5-diyl and is always substituted); when A is pyrimidine-2,5-diyl and U, V, and R1 are as defined above then R cannot be a 5-membered heterocyclyl ring containing 2 hetero atoms. The invention also relates to pharmaceutical compns. containing I as antimicrobials. I are useful antimicrobial agents (no data), effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria (for example, multiple-resistant staphylococci, streptococci, and enterococci), as well as anaerobic organisms (for example, Bacteroides spp. and Clostridia spp.), and acid fast organisms (for example, Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.). Approx. 100 compds. I were prepared, and are claimed by name. The synthesis of most compds. I and a variety of intermediates is described. For instance, 5-bromopyridin-2-amine was (1) N-protected with BOC, followed by (2) conversion of the bromide to the boronic acid, (3) Pd-catalyzed coupling of the boronic acid with (S)-N-[[3-(4-iodo-3,5-difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]acetamide, (4) N-deprotection with HCl, and (5) cyclization of the freed amine with 2,5-dimethoxytetrahydrofuran-3-carboxaldehyde, to give invention compound II. I have good activity against multiply resistant Gram-pos. pathogens like methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant Enterococci (VRE), and Streptococcus pneumoniae.

Some I have activity against multiple drug-resistant tuberculosis (MDR-TB) strain, while others have significant activity against important anaerobic bacteria. I are also active against MAI sirens and Gram-neg. pathogens like Moraxella catarrhalis and Haemophilus influenza.

IT 51746-85-1, 3-(1H-Imidazol-4-yl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of phenyl-substituted oxazolidinone derivs. as antimicrobials)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

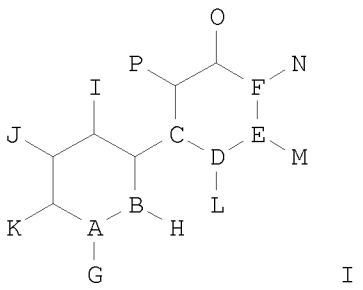


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:638872 CAPLUS
DOCUMENT NUMBER: 143:153298
TITLE: Preparation of nicotine-related compounds as modulators of smoking or nicotine ingestion and lung cancer
INVENTOR(S): Cashman, John R.
PATENT ASSIGNEE(S): Human Biomolecular Research Institute, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005066162	A1	20050721	WO 2004-US41924		20041210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20080188527	A1	20080807	US 2006-596803		20060623
PRIORITY APPLN. INFO.:			US 2003-531696P	P	20031223
			WO 2004-US41924	W	20041210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:153298; MARPAT 143:153298
GI



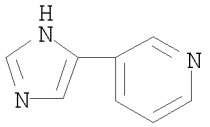
I

AB Disclosed are nicotine-related compds. (shown as I; variables defined below; e.g. [5-(pyridin-3-yl)thiophen-2-yl]methanamine) that selectively inhibit cytochrome P 450 2A6 (CYP2A6), selectively inhibit cytochrome P 450 2A13 (CYP2A13), and/or selectively modulate a nicotinic acetylcholine receptor (nAChR). Also disclosed are pharmaceutical compns. comprising a compound of the invention, as well as methods of using the pharmaceutical compns. for treating or preventing a disease or disorder associated with nicotine-ingestion, or a disease or disorder amenable to treatment by selective modulation of nAChRs. For I: A, B, C, D, E and F constitute part of a 3-, 4-, 5- or 6-member ring system of unsatd., partially (un)saturated heterocyclic and carbocyclic rings, wherein the A, B, C, D, E and F ring system is (un)substituted with hydrido, acyl, halo, lower acyl, lower haloalkyl, oxo, cyano, nitro, carboxy, amino, lower alkoxy, aminocarbonyl, lower alkoxycarbonyl, alkylamino, arylamino, lower carboxyalkyl, lower cyanoalkyl, lower hydroxyalkyl, alkylthio, alkylsulfinyl, aryl, lower aralkylthio, lower alkylsulfinyl, lower alkylsulfonyl, aminosulfonyl, lower N-arylaminosulfonyl, lower arylsulfonyl, and lower N-alkyl-N-arylaminosulfonyl. The aryl of the A,B, C, D, E and F ring system = Ph, biphenyl, and naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl, and is (un)substituted with one or two substituents halo, hydroxy, amino, nitro, cyano, carbamoyl, lower alkyl, lower alkenyloxy, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, lower alkylamino, lower dialkylamino, lower haloalkyl, lower alkoxycarbonyl, lower N-alkylcarbamoyl, lower N,N-dialkylcarbamoyl, lower alkanoylamino, lower cyanoalkoxy, lower carbamoylalkoxy, and lower carbonylalkoxy; the acyl group is (un)substituted with hydrido, alkyl, halo, and alkoxy. G, H, I, J, K, L M, N, O and P = aminoalkyl, aralkyl, aryl, heteroaryl, heteroaralkyl, heteroaralkyloxy, aroyl, aroylalkyl, aryloxy, aryloxyalkyl, hydrido, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, acyl, acylalkyl, acyloxy, acyloxyalkyl, halo, haloalkyl, cyano, cyanoalkyl, nitro, nitroalkyl, carboxy, carboxyalkyl, amino, aminoalkyl, aminocarbonyl, aminocarbonylalkyl, carbamoylalkyl, carbamoylalkoxy, iminoalkyl, imidoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkylamino, alkylaminoalkyl, dialkylamino, dialkylaminoalkyl, arylamino, arylaminoalkyl, hydroxy, hydroxyalkyl, isocyano, isocyanoalkyl, isothiocyano, isothiocyanoalkyl, oximinoalkoxy, morpholino, morpholinoalkyl, azido, azidoalkyl, formyl, formylalkyl, alkylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfinylalkyl, alkylsulfonyl, alkylsulfonylalkyl, aminosulfonyl, arylsulfonyl, N-alkyl-N-arylaminosulfonyl. The aryl of G, H, I, J, K, L M, N, O and/or P is (un)substituted and = Ph, biphenyl, naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl. Although the methods of preparation are not claimed, >50 example prepns. are included. For example, [5-(pyridin-3-yl)thiophen-2-yl]methanamine (11 %) and bis[[5-(pyridin-3-yl)thiophen-2-yl]methyl]amine (27 %) were prepared from

5-(pyridin-3-yl)thiophene-2-carboxaldehyde, ammonium acetate and sodium cyanoborohydride in MeOH.

IT 51746-85-1P, 3-(1H-Imidazol-4-yl)pyridine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of nicotine-related compds. as modulators of smoking or nicotine ingestion and lung cancer)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

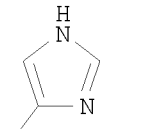
L7 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:409268 CAPLUS
DOCUMENT NUMBER: 142:463722
TITLE: Process for the preparation of imidazoles from nitriles and silylmethyl isocyanides.
INVENTOR(S): Dolby, Lloyd J.; Esfandiari, Shervin; Garst, Michael E.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050101785	A1	20050512	US 2003-706474	20031111
US 7183305	B2	20070227		
AU 2004289685	A1	20050526	AU 2004-289685	20041105
CA 2545742	A1	20050526	CA 2004-2545742	20041105
WO 2005047267	A1	20050526	WO 2004-US37154	20041105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1682517	A1	20060726	EP 2004-810512	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

BR 2004016377	A	20070403	BR 2004-16377		20041105
JP 2007512250	T	20070517	JP 2006-539701		20041105
US 20070185332	A1	20070809	US 2007-623693		20070116
US 7598394	B2	20091006			
US 20070249843	A1	20071025	US 2007-744564		20070504
PRIORITY APPLN. INFO.:			US 2003-706474	A	20031111
			WO 2004-US37154	W	20041105
			US 2007-623693	A2	20070116

OTHER SOURCE(S): CASREACT 142:463722; MARPAT 142:463722

GI



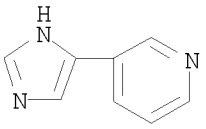
I

AB Title compds. [I; R = (substituted) (heteroatom-containing) aryl, alkyl, alkenyl, alkynyl], were prepared by reaction of RCN with a silylmethyl isocyanide. Thus, a solution of KOCMe3 in dimethoxyethane was treated with trimethylsilylmethyl isocyanide (preparation given) and then with (3-cyclohexenyl)acetonitrile in dimethoxyethane over 25 min.; after 45 min. KF was added followed by 8 h reflux to give 52% 4(5)-(cyclohexene-3-ylmethyl)imidazole.

IT 51746-85-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of imidazoles from nitriles and silylmethyl isocyanides)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:395316 CAPLUS

DOCUMENT NUMBER: 142:447215

TITLE: Preparation of pyrazolo- and imidazopyrimidine derivatives as metabotropic glutamate receptor antagonists

INVENTOR(S): Wichmann, Juergen; Woltering, Thomas Johannes

PATENT ASSIGNEE(S): F. Hoffmann-Roche Ag, Switz.

SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2

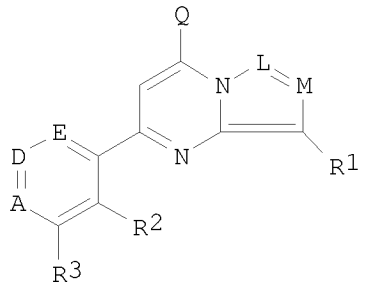
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040171	A1	20050506	WO 2004-EP10807	20040927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050130992	A1	20050616	US 2004-948970	20040924
US 7329662	B2	20080212		
AU 2004283801	A1	20050506	AU 2004-283801	20040927
CA 2540768	A1	20050506	CA 2004-2540768	20040927
EP 1670801	A1	20060621	EP 2004-765633	20040927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004014948	A	20061107	BR 2004-14948	20040927
CN 1890242	A	20070103	CN 2004-80035789	20040927
JP 2007507446	T	20070329	JP 2006-530027	20040927
CN 101239981	A	20080813	CN 2008-10083631	20040927
NZ 546037	A	20080926	NZ 2004-546037	20040927
RU 2350616	C2	20090327	RU 2006-114749	20040927
TW 297691	B	20080611	TW 2004-93129636	20040930
NO 2006001363	A	20060424	NO 2006-1363	20060324
MX 2006003526	A	20060608	MX 2006-3526	20060329
KR 2006089731	A	20060809	KR 2006-706303	20060331
KR 781469	B1	20071203		
ZA 2006002677	A	20070926	ZA 2006-2677	20060331
IN 2006CN01135	A	20070831	IN 2006-CN1135	20060403
US 20080051421	A1	20080228	US 2007-707480	20070216
US 7514443	B2	20090407		
HK 1099302	A1	20091231	HK 2007-106520	20070618
KR 2007096033	A	20071001	KR 2007-718904	20070817

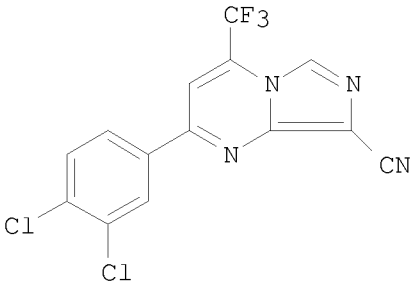
PRIORITY APPLN. INFO.:

EP 2003-78075	A	20031003
US 2004-948970	A3	20040924
CN 2004-80035789	A3	20040927
WO 2004-EP10807	W	20040927
KR 2006-706303	A3	20060331

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:447215; MARPAT 142:447215
GI



I



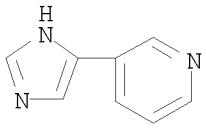
II

AB Title compds. I [wherein A, D, E = independently CH and derivs.; or one of A, D, and E is N; L = N, CH; when L = N, M = CH and derivs., or when L = CH, M = N; Q = CF3, CHF2; R1 = CN, (un)substituted pyridinyl, pyridinyl-N-oxide; R2, R3 = independently H, halo, cyclo/alkyl; with the proviso that when A = CH and derivs., D = E = CH, L = N, R1 = CN, R2 = R3 = H, and (a) M = CH, R4 is not H, Cl or OMe; or (b) M = CMe, R4 is not H; and their pharmaceutically acceptable addition salts] were prepared as metabotropic glutamate receptor antagonists. For example, II was prepared by reacting Et trifluoroacetate with 3,4-dichloroacetophenone, and cyclocondensation of the diketone (no data) with 4-amino-5-cyano-1H-imidazole in AcOH at reflux for 3.5 h. II exhibited antagonism against group II mGlu receptor with Ki of 0.043 nM in an assay using [3H]-LY354740 binding on mGlu2 transfected CHO cell membranes. Thus, I and their compns. are useful for the prevention and treatment of acute and/or chronic neurol. disorders such as psychosis, schizophrenia, Alzheimer's disease, cognitive disorders, etc.

IT 51746-85-1, 4-(3-Pyridyl)imidazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolo- and imidazopyrimidines as metabotropic glutamate receptor antagonists)

RN 51746-85-1 CAPLUS

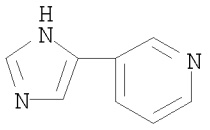
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:222162 CAPLUS
DOCUMENT NUMBER: 144:57068
TITLE: Synthesis of 4-[4-(pyridin-3-yl)imidazol-1-yl]butanamine
AUTHOR(S): Yi, Hong; Wang, Ting; Xu, Xiandong
CORPORATE SOURCE: Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (2004), 35(2), 69-71
CODEN: ZYGZEA; ISSN: 1001-8255
PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB 4-[4-(Pyridin-3-yl)imidazol-1-yl] butanamine, the special side chain compound of antibacterial agent telithromycin was synthesized from 3-acetylpyridine by oximation, sulfonylation, oxidation, cyclization and reduction to give 3-(imidazol-4-yl)pyridine which condensed with N-(4-bromobutyl)phthalimide followed by hydrazinolysis with an overall yield of 24%.
IT 51746-85-1P, 3-(Imidazol-4-yl)pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 4-[4-(pyridin-3-yl)imidazol-1-yl]butanamine)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

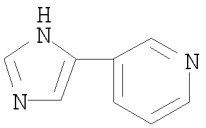


L7 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:1067790 CAPLUS
DOCUMENT NUMBER: 142:197828
TITLE: 5-Substituted, 6-Substituted, and Unsubstituted 3-Heteroaromatic Pyridine Analogues of Nicotine as Selective Inhibitors of Cytochrome P-450 2A6
AUTHOR(S): Denton, Travis T.; Zhang, Xiaodong; Cashman, John R.
CORPORATE SOURCE: Human BioMolecular Research Institute, San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(1), 224-239
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:197828
AB A series of 5- and 6-substituted and unsubstituted 3-heteroarom. analogs of nicotine were synthesized in an effort to delineate the structural requirements for selectively inhibiting human cytochrome P 450 (CYP) 2A6, the major nicotine metabolizing enzyme. Thiophene, substituted thiophene, furan, substituted furan, imidazole, substituted imidazole, pyridine,

substituted pyridine, thiazole, and quinoline moieties were used to replace the N-methylpyrrolidine ring of nicotine. Bromo and Me groups were introduced at the 5-position of the pyridine ring and fluoro, chloro, and methoxy groups were placed at the 6-position of the pyridine ring in order to explore the structure-activity relationship (SAR) of inhibition of CYP2A6. The inhibitory activity of the most potent CYP2A6 inhibitors on the functional activity of human cytochrome P450s 3A4, 2E1, 2B6, 2C9, 2C19, and 2D6 was also examined to determine inhibitor selectivity. Thus, 36 compds. were identified that were more potent than nicotine at inhibition of coumarin 7-hydroxylase (CYP2A6) activity. A number of compds. were also found to be highly selective for the inhibition of human CYP2A6 vs. the other human CYPs examined

IT 51746-85-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (imidazolyl)pyridine (nicotine analog) and study of its activity as selective cytochrome P 450 2A6 inhibitors)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



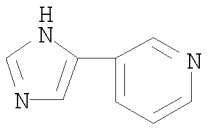
OS.CITING REF COUNT: 50 THERE ARE 50 CAPLUS RECORDS THAT CITE THIS RECORD (50 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:993088 CAPLUS
DOCUMENT NUMBER: 141:410929
TITLE: Preparation of 4-substituted imidazoles from halo carbonyl compounds, aldehydes, and ammonia
INVENTOR(S): Katsura, Akio; Washio, Noriyuki
PATENT ASSIGNEE(S): Nippon Synthetic Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004323367	A	20041118	JP 2003-116442	20030422

PRIORITY APPLN. INFO.: JP 2003-116442 20030422
OTHER SOURCE(S): MARPAT 141:410929
AB Title imidazoles, useful as intermediates for antibiotics, anti-AIDS drugs, etc., are prepared by treatment of R1COCX1X2R2 (I: X1, X2 = halo; R1 = C1-20 hydrocarbyl, heterocyclyl; CO2H; R2 = H, R1) with aldehydes and NH3. Thus, cyclocondensation of I·HBr (R1 = pyridyl, X1 = X2 = Br, R2 = H) with HCHO and aqueous NH3 gave 82% 4-pyridylimidazole.
IT 51746-85-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)
(preparation of imidazoles as intermediates for drugs from halo carbonyl compds., aldehydes, and ammonia)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 33 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:965263 CAPLUS
DOCUMENT NUMBER: 141:411193
TITLE: Preparation of macrolide pyridyl substituted erythromycin ketolide analogs as antibiotics
INVENTOR(S): Burger, Matthew; Carroll, Georgia; Chu, Daniel; Lin, Xiaodong; Plattner, Jacob; Rico, Alice
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 358 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096822	A2	20041111	WO 2004-US12645	20040423
WO 2004096822	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2523134	A1	20041111	CA 2004-2523134	20040423
US 20050009764	A1	20050113	US 2004-831749	20040423
US 7332476	B2	20080219		
EP 1618119	A2	20060125	EP 2004-750576	20040423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006524702	T	20061102	JP 2006-513275	20040423

PRIORITY APPLN. INFO.: US 2003-465294P P 20030425
WO 2004-US12645 W 20040423
OTHER SOURCE(S): MARPAT 141:411193
GI

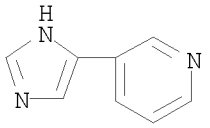
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Antimicrobial macrolide and ketolide I, were prepared wherein R is H, substituted alkyl, alkenyl, amide; R1 is H, substituted alkyl, alkenyl, alkynyl, amide, ester, thioester; R2 is H, halogen, alkyl; R3 and R4 are independently H, halogen, substituted alkyl, with the proviso that when q is 0, then R3 and R4 are not both hydrogen; with the proviso that when R1 is Et, and R3 and R4 are hydrogen, then R5 is not 6-fluoro; and with the proviso that when R1 is -CH=CH, and R3 and R4 are hydrogen, then R5 is not 6-Me; R5 is acyl, OH, halogen, NO2, CN, alkyl, cycloalkyl, alkenyl, alkynyl, ether, amine, heteroaryl, aryl; q is 0-4, as well as pharmaceutically acceptable salts, esters or prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating prophylaxis bacterial infections by the administration of such compds.; and processes for the preparation of the compds. Thus, macrolide II was prepared and tested in rats as antibacterial agent. The total daily dose of the compds. of this invention administered to a human or other mammal in single or in divided doses can be in amts., for example, from 0.01 to 50 mg/kg body weight or more usually from 0.1 to 25 mg/kg body weight

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of macrolide pyridyl substituted erythromycin ketolide analogs as antibiotics)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:469771 CAPLUS

DOCUMENT NUMBER: 141:190981

TITLE: Novel ketolide antibiotics with a fused five-membered lactone ring - synthesis, physicochemical and antimicrobial properties

AUTHOR(S): Hunziker, Daniel; Wyss, Pierre-C.; Angehrn, Peter; Mueller, Aranka; Marty, Hans-Peter; Halm, Remy; Kellenberger, Laurenz; Bitsch, Veronique; Biringer, Gerard; Arnold, Wolf; Stampfli, Andreas; Schmitt-Hoffmann, Anne; Cousot, Denis

CORPORATE SOURCE: Discovery Research, F. Hoffmann-La Roche Ltd, Basel, CH-4070, Switz.

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(13), 3503-3519
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:190981

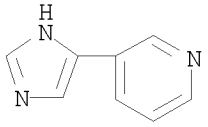
AB In an effort to find novel semisynthetic macrolides with extended antibacterial spectrum and improved activity we prepared a series of compds.

based on com. available clarithromycin, a potent and safe antimicrobial agent of outstanding clin. and com. interest. According to the literature, improvement of antibacterial activity of erythromycin type antibiotics can be achieved by introduction of fused heterocycles such as cyclic carbonates or carbamates at positions 11 and 12 (such as in telithromycin). In the course of the work presented here, a similar, hitherto unprecedented set of compds. bearing a five-membered lactone ring fused to positions 11 and 12 was prepared based on carbon-carbon bond formation via intramol. Michael addition of a [(hetero)arylalkylthio]acetic acid ester enolate to an α,β-unsatd. ketone as the key step. Some of the ketolide compds. described in this paper were highly active against a representative set of erythromycin sensitive and erythromycin resistant test strains. The best compound showed a similar antimicrobial spectrum and comparable activity in vitro as well as in vivo as telithromycin. Furthermore, some physicochem. properties of these compds. were determined and are presented here. On the basis of these results, the novel ketolide lactones presented in this paper emerged as valuable lead compds. with comparable properties as the com. ketolide antibacterial telithromycin (KetekTM).

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ketolide lactone derivs. of clarithromycin via intramol. Michael addition)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:453203 CAPLUS

DOCUMENT NUMBER: 141:23530

TITLE: Process for preparation of imidazoles and salts thereof and intermediates therefor

INVENTOR(S): Shintaku, Tetsuya; Itaya, Nobushige

PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

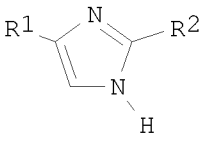
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046131	A1	20040603	WO 2002-JP12095	20021120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT,

RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002368373	A1	20040615	AU 2002-368373	20021120
PRIORITY APPLN. INFO.:			WO 2002-JP12095 A	20021120

OTHER SOURCE(S): MARPAT 141:23530
GI

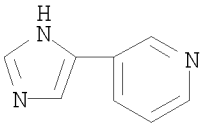


I

AB The title process comprises converting a dihaloacetyl compound in DMSO to a glyoxal derivative and reacting said glyoxal derivative with ammonia and an aldehyde to give the title compds. I [R1 = (un)substituted aryl, etc.; R2 = H, (un)substituted alkyl, etc.]. Thus, 3-pyridylglyoxal (II) was prepared from 3-(dibromoacetyl)pyridine HBr salt; reaction of II with ammonia and formaldehyde gave 3-(4-imidazolyl)pyridine in in 59.3% yield.

IT 51746-85-1P, 3-(4-Imidazolyl)pyridine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of imidazoles via reacting glyoxal derivative with ammonia and aldehyde)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

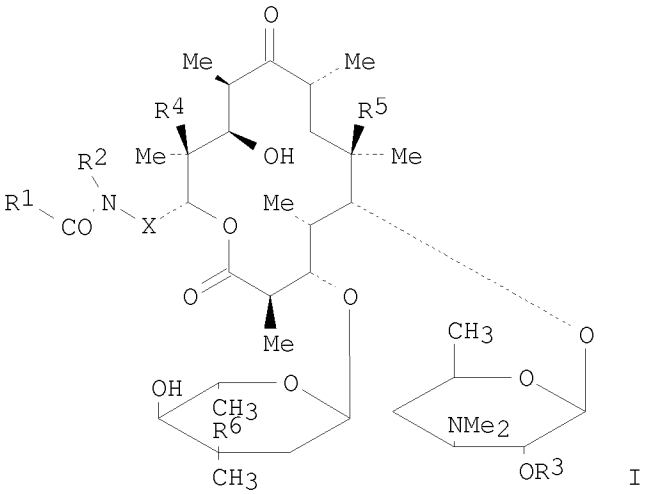
ACCESSION NUMBER:	2003:591015 CAPLUS
DOCUMENT NUMBER:	139:133786
TITLE:	Preparation of erythromycin A derived amido-macrolides for use in pharmaceutical compositions for treatment of bacterial infections
INVENTOR(S):	Ashley, Gary; Shaw, Simon James; Li, Yandong
PATENT ASSIGNEE(S):	Kosan Biosciences, Inc., USA
SOURCE:	PCT Int. Appl., 120 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061671	A1	20030731	WO 2003-US1398	20030117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2471383	A1	20030731	CA 2003-2471383	20030117
EP 1471923	A1	20041103	EP 2003-713257	20030117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538927	T	20051222	JP 2003-561615	20030117
PRIORITY APPLN. INFO.:			US 2002-350153P	P 20020117
			WO 2003-US1398	W 20030117

OTHER SOURCE(S): MARPAT 139:133786

GI



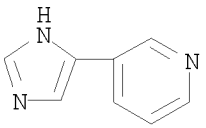
I

AB Erythromycin A derived amides, such as I [R1 = alkyl, alkenyl, alkynyl, aryl, arylalkyl, biarylalkyl, etc.; R2 = H, alkyl, alkenyl, alkynyl; R3 = H, COPh, alkanoyl; R4 = H, OH; R5 = H, OH, alkoxy, alkenyloxy, alkynyloxy; R6 = H, OMe; X = (CH2)m; m = 0-2], were prepared for therapeutic use as antibacterial agents. These erythromycin A derivs. are useful for treating bacterial infections resulting from bacteria selected from the group consisting of Gram pos. bacteria, Gram neg. bacteria and anaerobic bacteria, such as Staphylococcus aureus, Streptococcus epidermidis, Streptococcus pneumoniae, Streptococcus pyogenes, enterococci, Moraxella catarrhalis, and Haemophilus influenzae. Infections and diseases that may treated using the agents include community-acquired pneumonia, acute exacerbated chronic bronchitis, acute sinusitis, tonsillitis, pharyngitis, upper respiratory tract infection, lower respiratory tract infection, skin infection, soft tissue infection, meningitis, hospital-acquired infection,

bone infection, joint infection and gastric motility diseases, such as gastro-esophageal reflux disease (GERD), postoperative ileus, diabetes, and gastroparesis. Thus, 15-(6-quinolinecarboxamido)erythromycin A I [R1 = 6-quinolinyl, R2 = R3 = H, R4 = R5 = OH, R6 = OMe, X = (CH2)2] was prepared via a series of steps which included bio-mediated conversion of (±)-(2S*,3R*)-5-chloro-3-hydroxy-2-methylpentanoate N-propionylcysteamine thioester to 15-chloro-6-deoxyerythronolide B using Streptomyces coelicolor, conversion of the 15-chloro-macrolide to 15-azido-6-deoxyerythronolide B, a second bio-mediated conversion of the 15-azido-macrolide to 15-azidoerythromycin A using Saccharopolyspora erythraea, and a subsequent amidation reaction of 2'-O-acetyl-15-azidoerythromycin A with 6-quinolinecarboxylic acid. The prepared erythromycin A derivs. were tested for anti-microbial activity against organisms, such as S. aureus OC4172 and H. influenzae ATCC49766.

IT 51746-85-1, 4-(3-Pyridyl)imidazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of erythromycin A derived amido-macrolides for use in pharmaceutical compns. for treatment of bacterial infections)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:166999 CAPLUS
DOCUMENT NUMBER: 138:205059
TITLE: Preparation of imidazole compound and salts, and corresponding intermediate
INVENTOR(S): Shintaku, Tetsuya; Itaya, Nobushige
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003064078	A	20030305	JP 2001-255046	20010824
PRIORITY APPLN. INFO.:			JP 2001-255046	20010824

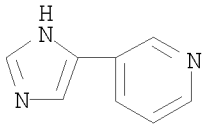
OTHER SOURCE(S): MARPAT 138:205059

AB The patent relates to the preparation of imidazole derivs. and intermediates (including salts) in DMSO via the reaction of halogen compound to glyoxal followed by reaction with aldehyde and ammonia. The product are useful intermediates for medicine and agricultural chemical Thus, crystal of the titled compound 3-(dibromoacetyl)pyridine hydrogen bromide prepared by reacting a mixture comprising hydrogen bromide solution, 3-(3-pyridyl)-3-oxypropionic acid Et ester, and bromine had 99.0% yield

with a formula of C7H6NOBr3. The 3-(dibromoacetyl)pyridine hydrogen bromide product was further reacted with formaldehyde in ammonia solution to form 3-(4-imidazolyl)pyridine.

IT 51746-85-1P, 3-(4-Imidazolyl)pyridine
RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of imidazole compound and salts, and corresponding intermediates)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:42284 CAPLUS
DOCUMENT NUMBER: 138:90019
TITLE: Preparation of C12 modified erythromycin macrolides and ketolides having antibacterial activity
INVENTOR(S): Chu, Daniel; Burger, Matthew; Lin, Xiaodong; Carroll, Georgia Law; Plattner, Jacob; Rico, Alice
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

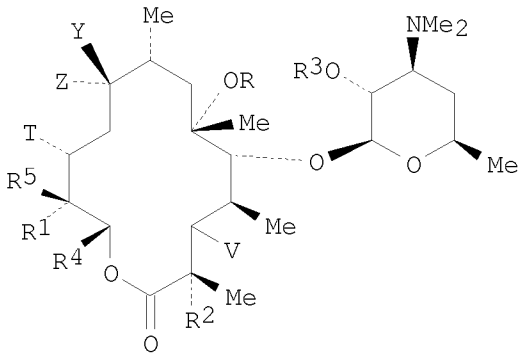
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004509	A2	20030116	WO 2002-US21209	20020703
WO 2003004509	A3	20030515		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2451391	A1	20030116	CA 2002-2451391	20020703
AU 2002316550	A1	20030121	AU 2002-316550	20020703
US 20030125266	A1	20030703	US 2002-190431	20020703
US 6756359	B2	20040629		
EP 1404693	A2	20040407	EP 2002-746862	20020703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005519857	T	20050707	JP 2003-510675	20020703
JP 2010001307	A	20100107	JP 2009-197452	20090827

PRIORITY APPLN. INFO.:
US 2001-302825P P 20010703
JP 2003-510675 A3 20020703

WO 2002-US21209 W 20020703

OTHER SOURCE(S): MARPAT 138:90019

GI



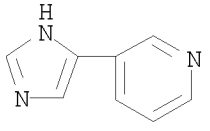
I

AB Antimicrobial macrolide I wherein: V is OCORx, carbonyl, caldinose moiety; Rx is H, alkyl,l O-alkyl, NH-alkyl, N-(alkyl)2; Y and Z taken together define a group X, wherein X is O, N-OH, substituted oxime; Y and Z are independently H, OH, protected hydroxy, amine; T is ether, amine, alky,; R is H, alkyl, alkenyl, alkynyl, R1 is H, alkyl, alkenyl, alkynyl, aryl, CHO, CO2H, CN, ester, amide, acyl, thioester; R2 is H, halogen, alkyl; R3 is H, hydroxy protecting group; R4 is alkyl, halogen, OH, alkoxy, alkenyl, alkynyl; R5 is OH, amino, alkylamino; R1R5 are together epoxide, carbonyl, olefin; as well as pharmaceutically acceptable salts, esters or prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating bacterial infections by the administration of such compds.; and processes for the preparation of the compds. Thus, (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-3a,4-diethyl-11-methoxy-7,9,11,13,15-pentamethyl-2,6,8,14-tetraoxo-1-(4-quinolin-4-yl-butyl)tetradecahydro-2H-oxacyclotetradecino[4,3-d][1,3]oxazol-10-yl-3,4,6-trideoxy-3-(dimethylamino)-D-5-xylo-hexopyranoside was prepared and tested in vitro as antibacterial agent. The pharmaceutical compns. of this invention can be administered to humans and other animals orally, rectally, parenterally, topically (as by powders, ointments, or drops), or as an oral or nasal spray, or a liquid aerosol or dry powder formulation for inhalation.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of c modified erythromycin macrolides and ketolides having antibacterial activity)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



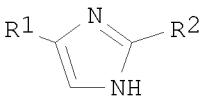
OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:918244 CAPLUS
DOCUMENT NUMBER: 138:4602
TITLE: Preparation of imidazoles and their intermediates
INVENTOR(S): Shintaku, Tetsuya; Itaya, Nobushige
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002348286	A	20021204	JP 2001-156060	20010524

PRIORITY APPLN. INFO.: JP 2001-156060 20010524
OTHER SOURCE(S): MARPAT 138:4602
GI

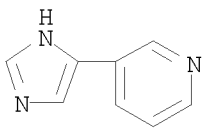


I

AB The compds. I [R1 = (un)saturated alkyl, cycloalkyl, aralkyl, arylalkenyl, etc.; R2 = H, (un)saturated alkyl, cycloalkyl, aralkyl, arylalkenyl, etc.] are prepared by reaction of HOCHR1CHX2 (R1 = same as above; X = halo) with NH3 and R2CHO (R2 = same as above) and oxidation 3-(Dibromoacetyl)pyridine hydrobromide was treated with NaBH4 in MeOH-H2O under ice-cooling for 30 min to give 92% 2,2-dibromo-1-(3-pyridyl)ethanol, which was mixed with HCHO and aqueous NH3 in MeOH at room temperature overnight to give 60% 3-(4-imidazolyl)pyridine.

IT 51746-85-1P, 3-(4-Imidazolyl)pyridine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of imidazoles by reaction of dihaloalkanols with ammonia and aldehydes and oxidation)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



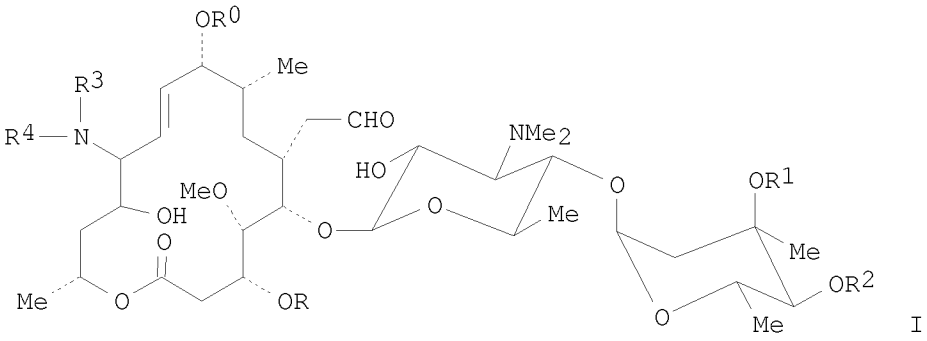
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L7 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:637689 CAPLUS

DOCUMENT NUMBER: 137:185760
TITLE: Preparation of 12- and 13-modified novel 16-membered macrolide derivatives as antibacterial agents
INVENTOR(S): Kurihara, Ken-ichi; Miura, Tomoaki; Ohkura, Naoto; Yoshida, Takuji; Furuuchi, Takeshi; Ajito, Keiichi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064607	A1	20020822	WO 2002-JP1241	20020214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2002316995	A	20021031	JP 2001-288352	20010921
AU 2002232183	A1	20020828	AU 2002-232183	20020214
JP 4248244	B2	20090402	JP 2002-564537	20020214
PRIORITY APPLN. INFO.:			JP 2001-36461 A	20010214
			WO 2002-JP1241 W	20020214

OTHER SOURCE(S): MARPAT 137:185760
GI

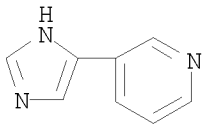


I

AB The title compds. I [R is hydrogen, alkylcarbonyl, alkyl, or arylalkenyl; R0 is hydrogen or alkylcarbonyl; R1 and R2 are each independently hydrogen or alkylcarbonyl; and R3 and R4 are each independently hydrogen, alkyl, alkylcarbonyl, aralkylcarbonyl, aralkyl, arylalkenyl, heterocycle-alkyl, or heterocycle-alkenyl] are prepared I are effective against erythromycin-resistant Gram-pos. bacteria, etc. 9-O-acetyl-4'-demycarosyl-12,13-dihydro-13-hydroxy-12-(N-methyl-N-(3-phenylpropyl)amino)platenomycin in vitro showed MIC of 12.5 μg/mL against Klebsiella pneumoniae PCI602.

IT 51746-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of 12- and 13-modified novel 16-membered macrolide derivs. as antibacterial agents)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

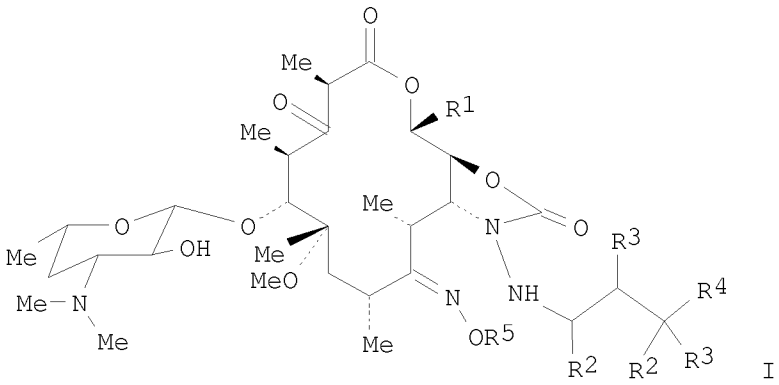


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:444527 CAPLUS
DOCUMENT NUMBER: 136:401978
TITLE: Synthesis of macrolide antibiotic glycoside carbamate ketolides as antibacterial and antiprotozoal agents
INVENTOR(S): Ripin, David H. B.; Vanderplas, Brian C.; Kaneko, Takushi; McMillen, William T.; McLaughlin, Robert W.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 64 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6403776	B1	20020611	US 2000-610057	20000705

PRIORITY APPLN. INFO.: US 2000-610057 20000705
OTHER SOURCE(S): CASREACT 136:401978; MARPAT 136:401978
GI



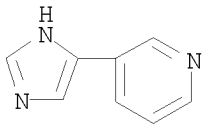
I

AB Macrolide erythromycins I (R1 = alkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxythioalkyl, cycloalkylalkyl, cycloalkyl, cycloalkenyl, substituted

Ph, heterocycle; R2, R3 = independently H, alkyl; R4 = aryl, heterocycle; R5 = H, alkyl, heteroatom-containing alkyl) were prepared as antibacterial and antiprotozoal agents. These antibiotics are useful as antibacterial and antiprotozoal agents in mammals, including man, as well as in fish and birds. Thus, (3aS,4R,7R,9S,10R,11R,13R,15R,15aR)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H, 9H)tetraone 4-ethyldecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[[(3R)-3-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]amino]-14-O-methyloxime was prepared as antibacterial and antiprotozoal agent (no data).

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of macrolide antibiotic glycoside carbamate ketolides as antibacterial and antiprotozoal agents)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



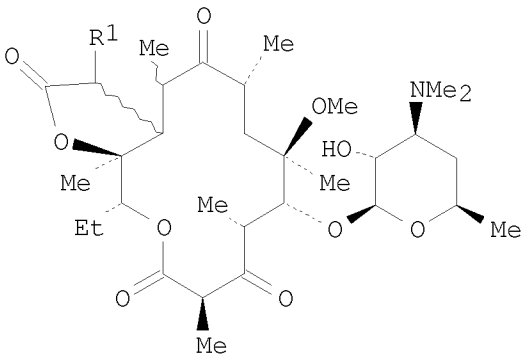
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:157788 CAPLUS
DOCUMENT NUMBER: 136:200420
TITLE: Preparation of macrolide erythromycin analogs with antibacterial activity
INVENTOR(S): Angehrn, Peter; Hunziker, Daniel; Wyss, Pierre-Charles
PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016380	A1	20020228	WO 2001-EP9560	20010820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419296	A1	20020228	CA 2001-2419296	20010820
CA 2419296	C	20081209		
AU 2001082105	A	20020304	AU 2001-82105	20010820
EP 1313751	A1	20030528	EP 2001-960680	20010820

EP 1313751	B1	20080917			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001013472	A	20030701	BR 2001-13472		20010820
JP 2004506740	T	20040304	JP 2002-521477		20010820
JP 4162992	B2	20081008			
CN 1234718	C	20060104	CN 2001-816089		20010820
AT 408614	T	20081015	AT 2001-960680		20010820
ES 2313975	T3	20090316	ES 2001-960680		20010820
ZA 2003001047	A	20040506	ZA 2003-1047		20030206
IN 2003CN00246	A	20050408	IN 2003-CN246		20030210
MX 2003001607	A	20030604	MX 2003-1607		20030221
US 20030199459	A1	20031023	US 2003-362526		20030221
US 6740642	B2	20040525			
PRIORITY APPLN. INFO.:			EP 2000-117971	A	20000822
			WO 2001-EP9560	W	20010820

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:200420
GI



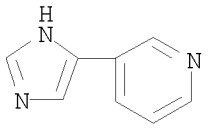
I

AB The invention provides new macrolides antibiotics of formula I with improved biol. properties and improved stability; wherein R1 is hydrogen, cyano, -S(L)mR2, -S(O)(L)mR2, or -S(O)2(L)mR2; L represents -(CH2)n- or -(CH2)nZ(CH2)n'-; m is 0 or 1; n is 1-4; n' is 0-4; Z is O, S or NH; R2 is hydrogen, alkyl, heterocyclyl or aryl; which heterocyclyl and the aryl groups may be further substituted; and pharmaceutically acceptable acid addition salts or in vivo cleavable esters thereof. Thus, 1-(3S,3aR,4R,6R,8R,9R,10R,12R,15R,15aS)-3-[[2-(6-amino-9H-purine-9-yl)propyl]thio]-15-ethyloctahydro-8-methoxy-4,6,8,10,12,15a-hexamethyl-9-[[3,4,6-trideoxy-3-(dimethylamino)-3-D-xylo-hexopyranosyl]oxy]-2H-furo[2,3-c]oxacyclotetradecin-2,5,11,13-(3H,6H,12H)-tetrone was prepared and tested for its antibacterial activity (MIC = 0.12μg/mL to 4 μg/mL). For the prevention and treatment of infectious diseases in mammals, human and non-human, a daily dosage of about 10 mg to about 2000 mg, especially about 50 mg to about 1000 mg, is usual, with those of ordinary skill in the art appreciating that the dosage will depend also upon the age, conditions of the mammals, and the kind of diseases being prevented or treated.

IT 51746-85-1P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrolide erythromycin analogs with antibacterial activity)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

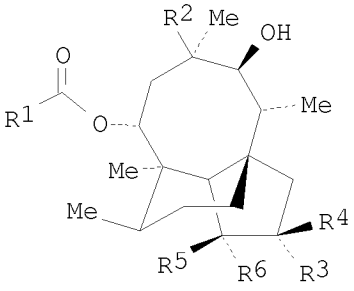


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:122965 CAPLUS
DOCUMENT NUMBER: 136:167530
TITLE: Preparation of mutilin 14-ester derivatives as antibacterial agents
INVENTOR(S): Aitken, Steven; Brooks, Gerald; Dabbs, Steven; Frydrych, Colin Henry; Howard, Steven; Hunt, Eric
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012199	A1	20020214	WO 2001-EP8949	20010802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001091725	A	20020218	AU 2001-91725	20010802
EP 1309565	A1	20030514	EP 2001-971856	20010802
EP 1309565	B1	20080409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004505953	T	20040226	JP 2002-518177	20010802
AT 391715	T	20080415	AT 2001-971856	20010802
ES 2304395	T3	20081016	ES 2001-971856	20010802
US 20040058937	A1	20040325	US 2003-343596	20031017
US 6878704	B2	20050412		

PRIORITY APPLN. INFO.: GB 2000-18951 A 20000803
WO 2001-EP8949 W 20010802
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:167530
GI



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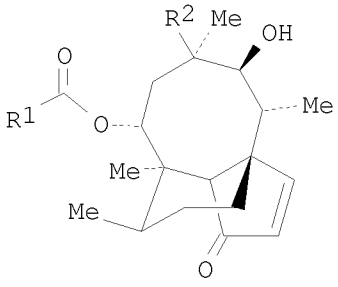
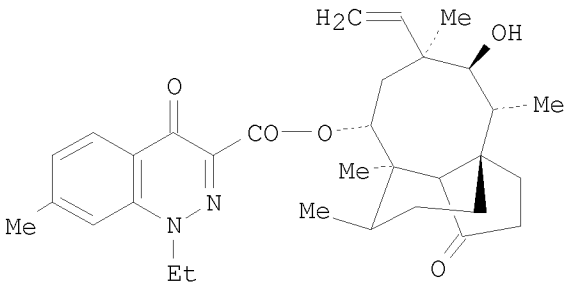


II



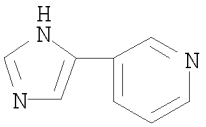
III

AB The invention discloses preparation of compds. I and II (R1 = (un)substituted aryl or heteroaryl comprising 5- or 6-membered heteroarom. ring; R2 = vinyl, ethyl; R3 = H, OH, F; R4 = H, F; R5R6 = oxo; R5, R6 = H, OH), for the treatment of bacterial infection. Thus, nalidixic acid was treated with oxalyl chloride and (3R)-3-deoxo-11-deoxy-3-methoxy-11-oxo-4-epimutilin to give III. I were found to have MIC ≤ 4μg/mL against Staphylococcus aureus, Streptococcus pneumoniae and Moraxella catarrhalis (no data).

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of mutilin 14-ester derivs. with antibacterial activity)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:793434 CAPLUS

DOCUMENT NUMBER: 135:339275

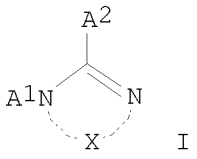
TITLE: Cyclic amidines, nicotinic acetylcholine α4β2 receptor activators containing them, and pharmaceuticals

INVENTOR(S): Imoto, Masahiro; Iwanami, Tatsuya; Akabane, Minako;

Tani, Yoshihiro
PATENT ASSIGNEE(S): Suntory, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

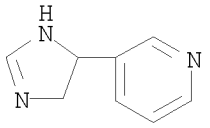
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001302643	A	20011031	JP 2000-120976	20000421
CA 2372673	A1	20011101	CA 2001-2372673	20010420
WO 2001081334	A2	20011101	WO 2001-JP3378	20010420
WO 2001081334	A3	20020808		
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2001048799	A	20011107	AU 2001-48799	20010420
AU 782763	B2	20050825		
EP 1280793	A2	20030205	EP 2001-921932	20010420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
US 20030100769	A1	20030529	US 2001-9477	20011211

PRIORITY APPLN. INFO.: JP 2000-120976 A 20000421
WO 2001-JP3378 W 20010420
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:339275
GI



I

AB The activators, useful for treatment of brain function disorders, contain cyclic amidines I [A1, A2 = H, (un)substituted alkyl, (un)substituted aryl, (un)substituted heterocyclyl; X = (un)substituted C2H4, (un)substituted CH:CH, (un)substituted (CH2)3, (un)substituted CH2CH2NH] or their salts. Trimethylenediamine was cyclocondensed with Et (6-chloro-3-pyridyl)acetate and treated with fumaric acid to give I fumarate (A1 = H, A2 = 6-chloro-3-pyridylmethyl, X = CH:CH), which showed affinity with rat nicotinic acetylcholine α4β2 receptor with Ki of 29 nM, vs. 1.6 nM, for nicotine. Pharmaceutical formulations containing I are given.
IT 371122-36-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of cyclic amidines as nicotinic acetylcholine α4β2 receptor activators)
RN 371122-36-0 CAPLUS
CN Pyridine, 3-(4,5-dihydro-1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L7 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:246571 CAPLUS
DOCUMENT NUMBER: 134:266517
TITLE: Synthesis of macrolide antibiotic glycoside carbamate ketolides as antibacterial and antiprotozoal agents
INVENTOR(S): Kaneko, Takushi; McLaughlin, Robert William; McMillen, William Thomas; Ripin, David Harold Brown; Vanerplas, Brian Clement
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 100 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1088828	A2	20010404	EP 2000-308487	20000927
EP 1088828	A3	20010411		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
IN 187119	A1	20020209	IN 2000-MU879	20000925
ZA 2000005139	A	20020326	ZA 2000-5139	20000926
CA 2321336	A1	20010329	CA 2000-2321336	20000927
CA 2321336	C	20050315		
TR 200002787	A2	20010420	TR 2000-2787	20000927
HU 2000003834	A2	20010528	HU 2000-3834	20000928
HU 2000003834	A3	20010730		
MX 2000009540	A	20020201	MX 2000-9540	20000928
RU 2188827	C2	20020910	RU 2000-124761	20000928
CN 1289778	A	20010404	CN 2000-129252	20000929
BR 2000004537	A	20010417	BR 2000-4537	20000929
JP 2001151792	A	20010605	JP 2000-299453	20000929
IN 188930	A1	20021123	IN 2001-MU452	20010511

PRIORITY APPLN. INFO.: US 1999-156554P P 19990929
OTHER SOURCE(S): CASREACT 134:266517; MARPAT 134:266517
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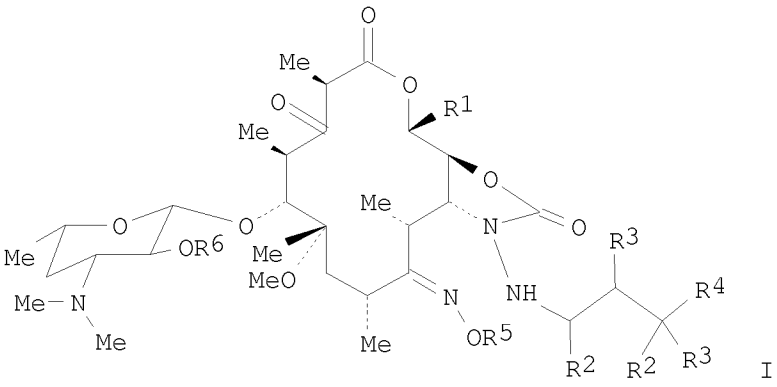


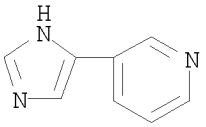
I

AB Macrolide erythromycins I (R1 = alkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxythioalkyl, cycloalkylalkyl, cycloalkyl, cycloalkenyl, substituted Ph, heterocycle; R2, R3 = independently H, alkyl; R4 = aryl, heterocycle; R5 = H, alkyl, heteroatom-containing alkyl; R6 = H, acyl, COR4, alkanoyl) were prepared as antibacterial and antiprotozoal agents. These antibiotics are useful as antibacterial and antiprotozoal agents in mammals, including man, as well as in fish and birds. Thus, (3aS,4R,7R,9S,10R,11R,13R,15R,15aR)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H, 9H)tetraone 4-ethyldecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[[(3R)-3-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]amino]-14-O-methyloxime was prepared as antibacterial and antiprotozoal agent (no data).

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of macrolide antibiotic glycoside carbamate ketolides as antibacterial and antiprotozoal agents)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 46 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:854601 CAPLUS

DOCUMENT NUMBER: 134:162967

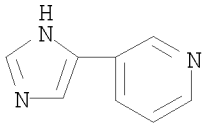
TITLE: Automated Process Research and the Optimization of the Synthesis of 4(5)-(3-Pyridyl)imidazole

AUTHOR(S): Kirchhoff, Eric W.; Anderson, Denise R.; Zhang, Songlei; Cassidy, Constance S.; Flavin, Michael T.

CORPORATE SOURCE: MediChem Research Inc., Lemont, IL, 60439, USA

SOURCE: Organic Process Research & Development (2001), 5(1), 50-53
CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:162967
AB Automated process development technol. was applied to the synthesis of 4(5)-(3-pyridyl)imidazole [3-(1H-imidazol-4-yl)pyridine]. This method utilizes automated liquid handling equipment coupled with statistically designed protocols for rapid process optimization. Two exptl. sets were carried out based on a three-level factorial and central composite designs to optimize the product yield. The central composite design was repeated on one-fifth the scale to test the capabilities of the automated equipment. The reaction variables investigated were temperature and stoichiometry of formamide. The optimum in situ yield of 4(5)-(3-pyridyl)imidazole was found to be at 160 °C and 9 equiv of formamide. The results from the automated technol. can be applied to larger-scale synthesis of the desired compound
IT 51746-85-1P, 3-(4-Imidazolyl)pyridine
RL: SPN (Synthetic preparation); PREP (Preparation)
(automated process research and optimization of synthesis of 3-(1H-imidazol-4-yl)pyridine)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 47 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:401845 CAPLUS
DOCUMENT NUMBER: 133:17748
TITLE: Preparation of carbamate and carbazate erythronolide ketolide antibiotics
INVENTOR(S): Kaneko, Takushi; Su, Wei-Guo; Wu, Yong-Jin
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034297	A1	20000615	WO 1999-IB1825	19991112

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

	CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9964841		A	20000626	AU 1999-64841	19991112
EP 1137654		A1	20011004	EP 1999-952753	19991112
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TR 200102129		T2	20020121	TR 2001-2129	19991112
EP 1298138		A1	20030402	EP 2002-28397	19991112
EP 1298138		B1	20061102		
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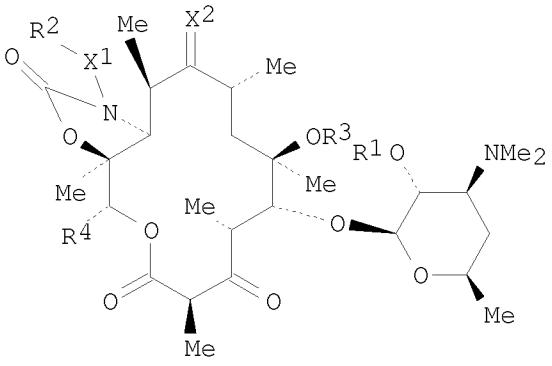
AT 344269		T	20061115	AT 2002-28397	19991112
EP 1749832		A2	20070207	EP 2006-22764	19991112
EP 1749832		A3	20080326		
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI				
ES 2273964		T3	20070516	ES 2002-28397	19991112
IN 1999BO00813		A	20070622	IN 1999-BO813	19991118
US 6664238		B1	20031216	US 1999-459116	19991210
PRIORITY APPLN. INFO.:				US 1998-111728P	P 19981210
				EP 1999-952753	A3 19991112
				EP 2002-28397	A3 19991112
				WO 1999-IB1825	W 19991112

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 133:17748
GI

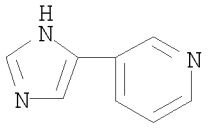


I

AB Macrolide erythronolides I (R1 = H, acyl; R2 = heterocycle, cycloalkylene; R3 = alkyl; R4 = H, alkyl; X1 = O, haloalkyl, amine; X2 = O, oxime) were prepared as antibacterial agents. This invention relates to compds. of formula and to pharmaceutically acceptable salts and solvates thereof wherein X1, X2, R2, R15, R16 and R6 are as defined herein. The compds. of formula are antibacterial and antiprotozoal agents that may be used to treat various bacterial and protozoal infections and disorders related to such infections. Thus, 11-deoxy-5-O-desosaminyl-11-(3,3-dimethyl-3-(4-pyridin-3-yl-imidazol-1-yl-propyl))hydrazo-6-O-methyl-3-oxoerythronolide A, 11,12-carbamate, 9-E-(O-methyl)oxime was prepared and tested for its antibacterial activity (no data).

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbamate and carbazate erythronolide ketolide antibiotics)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)

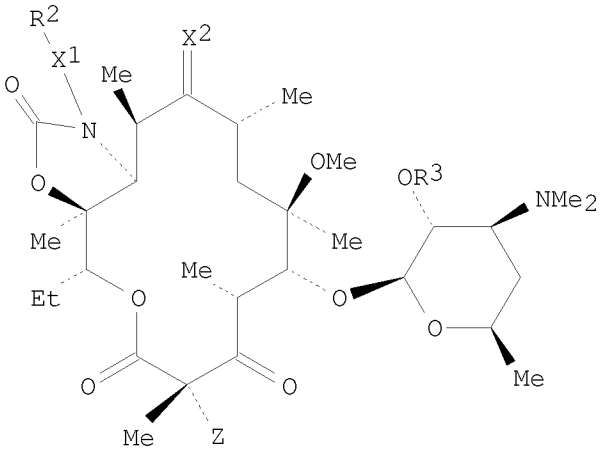


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 48 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:210192 CAPLUS
DOCUMENT NUMBER: 132:237322
TITLE: Preparation of carbamate and carbazate ketolide erythromycins as antibiotics
INVENTOR(S): Kaneko, Takushi; Su, Wei-guo; Wu, Yong-jin
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000017218	A1	20000330	WO 1999-IB1502		19990903
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9952994	A	20000410	AU 1999-52994		19990903
EP 1115732	A1	20010718	EP 1999-938490		19990903
EP 1115732	B1	20050629			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
AT 298761	T	20050715	AT 1999-938490		19990903
ES 2243066	T3	20051116	ES 1999-938490		19990903
US 6420343	B1	20020716	US 1999-399497		19990920
PRIORITY APPLN. INFO.:			US 1998-101263P	P	19980922
			WO 1999-IB1502	W	19990903

OTHER SOURCE(S): MARPAT 132:237322
GI



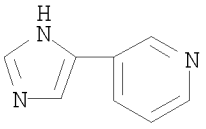
I

AB Macrolide erythromycins I (X1 = CH2, NR = X2 = O, NOR1; Z = H, alkyl, aryl, heterocycle; R = independently H, alkyl; R1 = H, Me, Et; R2 = imidazolyl-alkyl; R3 = H, acetyl) were prepared as antibacterial and antiprotozoal agents. Thus, 11-deoxy-5-O-desosaminyl-11-(3-,3-dimethyl-3(4-pyridinyl-3-yl-imidazol-1-yl)-propyl)hydrazo-6-O-methyl-3-oxoerythronoolide A 11,12,carbamate,9-E-(O-methyl)oxime was prepared and tested for its antibacterial activity.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbamate and carbazate ketolide erythromycins as antibiotics)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 49 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:53613 CAPLUS

DOCUMENT NUMBER: 132:93321

TITLE: Cyclization method for preparing 4-(3-pyridinyl)-1H-imidazoles

INVENTOR(S): Bouchet, Raphael; Lagouardat, Jacques; Scholl, Jacques

PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.

SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000002875	A1	20000120	WO 1999-FR1649		19990708
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
FR 2780973	A1	20000114	FR 1998-8796		19980709
FR 2780973	B1	20011005			
CA 2337270	A1	20000120	CA 1999-2337270		19990708
CA 2337270	C	20091124			
AU 9946251	A	20000201	AU 1999-46251		19990708
EP 1095035	A1	20010502	EP 1999-929431		19990708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
HU 2001004797	A2	20020429	HU 2001-4797		19990708
HU 2001004797	A3	20030128			
JP 2002520325	T	20020709	JP 2000-559105		19990708
CN 1152872	C	20040609	CN 1999-808442		19990708
TW 496867	B	20020801	TW 1999-88111723		19990719
MX 2001000187	A	20021017	MX 2001-187		20010108
US 6353108	B1	20020305	US 2001-743562		20010126
IN 2005DN03081	A	20070302	IN 2005-DN3081		20051223
PRIORITY APPLN. INFO.:			FR 1998-8796	A	19980709
			WO 1999-FR1649	W	19990708

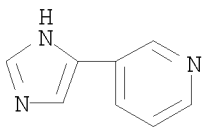
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 132:93321; MARPAT 132:93321
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 4-(3-Pyridinyl)-1H-imidazoles (I; R = H, C≤8 alkyl) are prepared in high yield and selectivity by the transamidation of aminoketals (II; R1 = C1-4 alkyl) with carboxamides RCONH2 to give amidoketals (III) which are subjected to cyclization. Thus, 4-(3-pyridinyl)-1H-imidazole was prepared from O-[(4-methylphenyl)sulfonyl] oxime of 1-(3-pyridinyl)ethanone in 4 steps.

IT 51746-85-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(cyclization method for preparing 4-(3-pyridinyl)-1H-imidazoles)

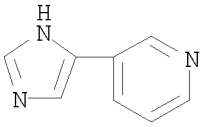
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 50 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:662329 CAPLUS
DOCUMENT NUMBER: 132:12455
TITLE: Structure-activity relationship in two series of aminoalkyl substituted coumarin inhibitors of gyrase B
AUTHOR(S): Laurin, Patrick; Ferroud, Didier; Schio, Laurent; Klich, Michael; Dupuis-Hamelin, Claudine; Mauvais, Pascale; Lassaigne, Patrice; Bonnefoy, Alain; Musicki, Branislav
CORPORATE SOURCE: Medicinal Chemistry, Hoechst Marion Roussel, Romainville, 93235, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(19), 2875-2880
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Two series of amino-substituted coumarins were synthesized and evaluated in vitro as inhibitors of DNA gyrase and as potential antibacterials. Novel novobiocin-like coumarins, 4-(dialkylamino)-methylcoumarins and 4-((2-alkylamino)ethoxy)coumarins, were discovered as gyrase B inhibitors with promising antibacterial activity in vitro.
IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(structure-activity relationship in two series of aminoalkyl substituted coumarin inhibitors of gyrase B)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

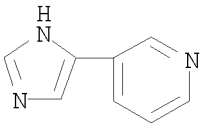
L7 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:616402 CAPLUS
DOCUMENT NUMBER: 130:22719
TITLE: HMR-3647, an antimicrobial ketolide
AUTHOR(S): Graul, A.; Castaner, J.
CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (1998), 23(6), 591-597
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The preparation of HMR-3647, 11,12-dideoxy-3-des(2,6-dideoxy-3-C,3-O-dimethyl-α- L-altropyranosyloxy)-6-O-methyl-3-oxo-12,11-(oxycarbonylimino)-N11-[4-[-(3-pyridyl)imidazol-1-yl]butyl]erythromycin A, an antimicrobial ketolide, is described. HMR-3647 displayed potent antibacterial activity

against a panel of antibiotic-susceptible and -resistant bacteria (Streptococcus, Enterococcus, Staphylococcus, Corynebacterium, Lactobacillus, Bordetella,, Haemophilus, Mycobacterium, Bacteroides), chlamydia, and Toxoplasma. Time-kill kinetics indicated that HMR-3647 is primarily bacteriostatic. Once-daily dosing is proposed to be appropriate for HMR-3647 in human studies. Mice treated with 300 mg/kg/day of HMR-3647 did not show any weight loss or any other indications of toxicity.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(HMR-3647, ketolide antimicrobial agent, synthesis)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:405964 CAPLUS

DOCUMENT NUMBER: 129:67977

ORIGINAL REFERENCE NO.: 129:14115a,14118a

TITLE: Preparation of erythromycins as bactericides

INVENTOR(S): Auger, Jean-Michel; Agouridas, Constantin; Chantot, Jean-Francois; Denis, Alexis

PATENT ASSIGNEE(S): Hoechst, Marion Roussel, Fr.

SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

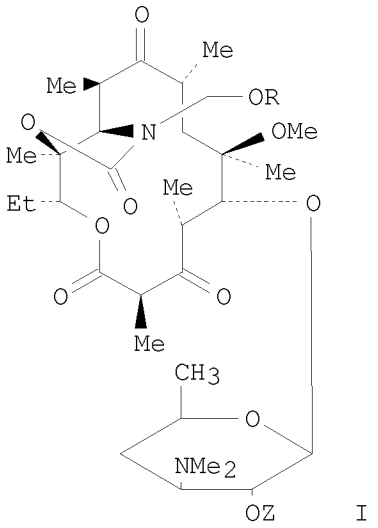
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825942	A1	19980618	WO 1997-FR2254	19971210
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757168	A1	19980619	FR 1996-15271	19961212
FR 2757168	B1	19990611		
AP 997	A	20010809	AP 1999-1513	19971201
W: KE, GH, GM, LS, MW, SD, SZ, UG, ZW				
CA 2273985	A1	19980618	CA 1997-2273985	19971210
CA 2273985	C	20070320		
AU 9854877	A	19980703	AU 1998-54877	19971210
AU 721732	B2	20000713		
ZA 9711101	A	19981210	ZA 1997-11101	19971210

EP 946579	A1	19991006	EP 1997-951293	19971210
EP 946579	B1	20020502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1239966	A	19991229	CN 1997-180496	19971210
CN 1238364	C	20060125		
BR 9714007	A	20000509	BR 1997-14007	19971210
NZ 335325	A	20000825	NZ 1997-335325	19971210
HU 2000001180	A2	20000928	HU 2000-1180	19971210
HU 2000001180	A3	20030528		
JP 2001506620	T	20010522	JP 1998-526298	19971210
JP 4363666	B2	20091111		
AT 217008	T	20020515	AT 1997-951293	19971210
PT 946579	E	20021031	PT 1997-951293	19971210
ES 2174323	T3	20021101	ES 1997-951293	19971210
IL 130420	A	20030112	IL 1997-130420	19971210
CZ 292403	B6	20030917	CZ 1999-2082	19971210
SK 283715	B6	20031202	SK 1999-750	19971210
CN 1721427	A	20060118	CN 2005-10087494	19971210
CN 100363375	C	20080123		
BG 63124	B1	20010430	BG 1999-103398	19990512
MX 9905226	A	20000131	MX 1999-5226	19990604
NO 9902859	A	19990611	NO 1999-2859	19990611
NO 314040	B1	20030120		
KR 2000057517	A	20000915	KR 1999-705216	19990611
PRIORITY APPLN. INFO.:			FR 1996-15271 A	19961212
			CN 1997-180496 A3	19971210
			WO 1997-FR2254 W	19971210

OTHER SOURCE(S): MARPAT 129:67977

GI



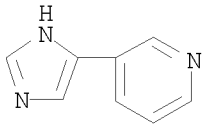
I

AB Erythromycins I in which R represents an alkyl radical optionally substituted or (CH2)nAr, n representing a whole number ranging from 0 to 6, Ar representing an aryl or heteroaryl radical optionally substituted, and Z represents a hydrogen atom or the radical of a carboxylic acid, were prepared as bactericides. Thus, 11,12-dideoxy-3-de[(2,6-dideoxy-3-C-methyl-

3-O-methyl-α-L-ribohexopyranosyl)oxy]-6-O-methyl-3-oxo-12,11-[oxycarbonyl[[[2-[4-(3-pyridinyl)-1H-imidazol-1-yl]ethoxy]methyl]imino]]erythromycin was prepared as bactericide (CMI = 0.02-0.08 μg/cm3).

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of erythromycins as bactericides)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 53 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:640637 CAPLUS
DOCUMENT NUMBER: 127:293008
ORIGINAL REFERENCE NO.: 127:57267a,57270a
TITLE: Preparation of hydrazonobenz[e]azulenes as vitronectin receptor antagonists
INVENTOR(S): Bernard, Serge; Carniato, Denis; Gourvest, Jean-Francois; Teutsch, Jean-Georges; Knolle, Jochen; Stilz, Hans-Ulrich; Wehner, Volkmar; Bodary, Sarah C.; Gadek, Thomas R.; McDowell, Robert S.; Pitti, Robert M.; et al.
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.; Bernard, Serge; Carniato, Denis; Gourvest, Jean-Francois; Teutsch, Jean-Georges; Knolle, Jochen; Stilz, Hans-Ulrich; Wehner, Volkmar; Bodary, Sarah C.; et al.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734865	A1	19970925	WO 1997-FR487	19970320
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2746394	A1	19970926	FR 1996-3437	19960320
FR 2746394	B1	19980529		
ZA 9702393	A	19980319	ZA 1997-2393	19970319
IN 1997DE00704	A	20050311	IN 1997-DE704	19970319
CA 2249471	A1	19970925	CA 1997-2249471	19970320

AU 9722966	A	19971010	AU 1997-22966	19970320
AU 728852	B2	20010118		
EP 888292	A1	19990107	EP 1997-915519	19970320
EP 888292	B1	20011031		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1219165	A	19990609	CN 1997-194806	19970320
CN 1090178	C	20020904		
BR 9708231	A	19990803	BR 1997-8231	19970320
HU 9902495	A2	19991129	HU 1999-2495	19970320
HU 9902495	A3	20010730		
AP 806	A	20000128	AP 1998-1342	19970320
W: GH, KE, LS, MW, SD, SZ, UG				
NZ 331778	A	20000228	NZ 1997-331778	19970320
JP 2000506879	T	20000606	JP 1997-533208	19970320
JP 4091983	B2	20080528		
AT 207889	T	20011115	AT 1997-915519	19970320
ES 2164337	T3	20020216	ES 1997-915519	19970320
PT 888292	E	20020429	PT 1997-915519	19970320
SK 282894	B6	20030109	SK 1998-1249	19970320
TW 458963	B	20011011	TW 1997-86113848	19970923
NO 9804352	A	19981119	NO 1998-4352	19980918
NO 312459	B1	20020513		
BG 63569	B1	20020531	BG 1998-102778	19980918
LV 12207	B	19990320	LV 1998-209	19981007
LT 4535	B	19990825	LT 1998-145	19981015
US 6221907	B1	20010424	US 1999-155063	19990202
US 6459001	B1	20021001	US 2001-769018	20010125
CN 1401621	A	20030312	CN 2002-141265	20020627

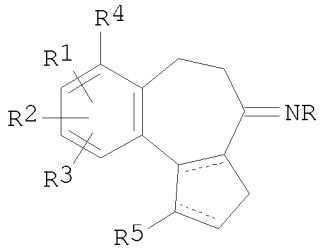
PRIORITY APPLN. INFO.:

FR 1996-3437	A	19960320
WO 1997-FR487	W	19970320
US 1999-155063	A3	19990202

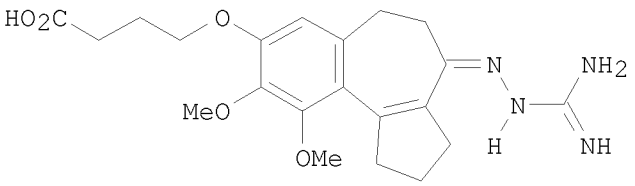
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 127:293008; MARPAT 127:293008

GI



I



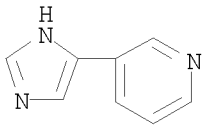
II

AB Title compds. [I; R = (heterocyclyl)amino, (un)substituted NHC(:X)NH2, etc.; R1 = ZZ1Z2COR6; R2,R3 = H OH, (ar)alkoxy, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = H, halo, (ar)alkoxy, etc.; R6 = OH, alkoxy, (di)(alkyl)amino, etc.; X = O, NH, etc.; Z = O, CH:CH, CH2CH2, CH2CO, etc.; Z1 = (heteroatom-interrupted) alk(en)ylene, etc.; Z2 = bond, phenylene, (acyl)aminoalkylidene, etc.; dashed lines = optional addnl. bond(s)] were prepared Thus, 3,4,5-(MeO)C6H2CH2CH2COCl was condensed with 1-morpholinocyclopentene (preparation each given) and the product cyclized to give 2,3,5,6-tetrahydro-8,9,10-trimethoxybenz[e]azulene-4(1H)-one which was converted in 3 steps to the 8-OH derivative which was etherified by Br(CH2)3CO2Et and the product hydrazonated by H2NNHC(:NH)NH2.HBr to give title compound II. Data for biol. activity of I were given.

IT 51746-85-1, 3-(4-Imidazolyl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydrazonobenz[e]azulenes as vitronectin receptor antagonists)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:4343 CAPLUS

DOCUMENT NUMBER: 126:75181

ORIGINAL REFERENCE NO.: 126:14557a,14560a

TITLE: Preparation of erythromycins as bactericides

INVENTOR(S): Agouridas, Constantin; Chantot, Jean Francois; Denis, Alexis; Gouin d'Ambrieres, Solange; Le Martret, Odile

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: Fr. Demande, 50 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

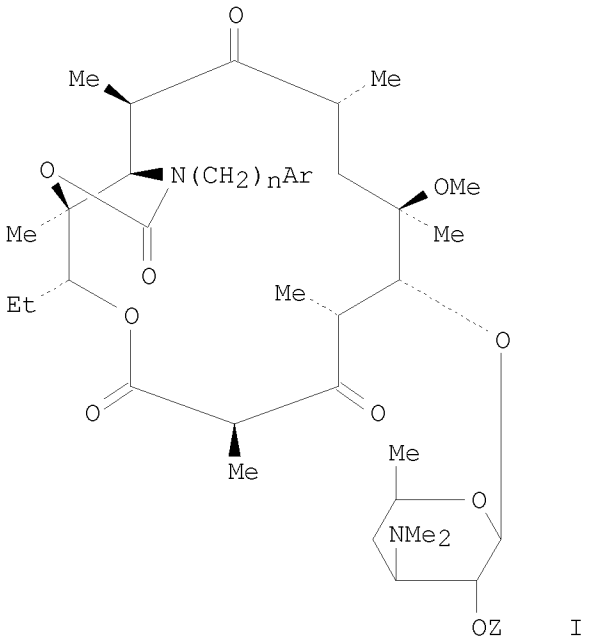
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2732684	A1	19961011	FR 1995-4089	19950406
FR 2732684	B1	19970430		
IN 1995DE01167	A	20070112	IN 1995-DE1167	19950623
IN 2008DE01348	A	20080725	IN 2008-DE1348	20080605

PRIORITY APPLN. INFO.: FR 1995-4089 A 19950406
IN 1995-DE1167 A3 19950623

OTHER SOURCE(S): MARPAT 126:75181

GI



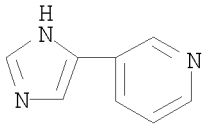
I

AB Title erythromycins I (R = substituted heterocycle, n = 3-5, Z = H, carboxylate) were prepared as bactericides. Thus, 11,12-dideoxy-3-de((2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribohexopyranosyl)oxy)-6-O-methyl-3-oxo-12,11-(oxycarbonyl((4-(4-phenyl-1H-imidazol-1-yl)butyl)imino))erythromycin was prepared and tested for its antibacterial activity.

IT 51746-85-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of erythromycins as bactericides)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1974:82801 CAPLUS

DOCUMENT NUMBER: 80:82801

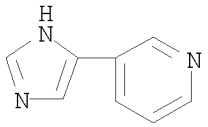
ORIGINAL REFERENCE NO.: 80:13325a,13328a

TITLE: Structure-action relationship of histamine analogs. 1. Histamine-like compounds with cyclized side chain

AUTHOR(S): Schunack, W.

CORPORATE SOURCE: Pharm. Inst., Johannes Gutenberg-Univ., Mainz, Fed. Rep. Ger.

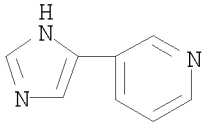
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1973), 306(12), 934-42
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Reaction of 2-, 3-, and 4-(2-aminoacetyl)pyridine with KSCN and HNO3 oxidation of the resulting 2-mercapto-4-imidazolyl derivs. gave the imidazolyl derivs. I (Py = 2-, 3-, or 4-pyridyl), which were hydrogenated over 5% Rh/C to give 88-90% of the corresponding piperidines II (X = 2-, 3-, or 4-piperidyl). Hydrogenation of 4-(2-, 3-, and 4-aminophenyl)imidazole, prepared by Raney Ni hydrogenation of the nitro analogs, over 5% Rh/C gave 82-92% (aminocyclohexyl)imidazoles II (X = 2-, 3-, or 4-aminocyclohexyl). Similarly, 2-(3-piperidyl)pyridine (III) and 3-(3-piperidyl)pyrazole (IV) were prepared II (X = 3-piperidyl and 2-aminocyclohexyl) and III and IV had histamine-like activity. Structure-activity relationships of histamine analogs with cyclized side chain are reported.
IT 51746-85-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



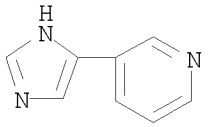
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L7 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1941:38263 CAPLUS
DOCUMENT NUMBER: 35:38263
ORIGINAL REFERENCE NO.: 35:5992d-f
TITLE: The pharmacological actions of some imidazole derivatives
AUTHOR(S): Yamamoto, Teiziro
SOURCE: Folia Pharmacol. Japon. (1941), 31, 145-87(Breviaria 8-9)
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Mice were excited and epileptiform convulsions were induced by 4-methylimidazole (I) and 4-(3-pyridyl)imidazole (II). Frogs were excited by the former. Mice were paralyzed and died from asphyxia by 4-(3-piperidyl)imidazole (III) and 4- or 5-aminoethyl-2-methylimidazole (IV); frogs were similarly affected by the latter. In urethanized rabbits I and II caused a fall in blood pressure, but III and IV were almost without any action. The isolated frog heart was stimulated by I, III and IV, but was inhibited by II. The rabbit intestine and uterus and guinea-pig uterus were stimulated by I, III and IV (the latter in large doses only), but were inhibited by II and IV (the latter in low dosage only). The effects of histamine obtained were the same as reported in the literature.
IT 51746-85-1, Pyridine, 3-(1H-imidazol-4-yl)-
(pharmacol. action of)

RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1939:61044 CAPLUS
DOCUMENT NUMBER: 33:61044
ORIGINAL REFERENCE NO.: 33:8796d-e
TITLE: Pharmacological actions of some new derivatives of glyoxaline
AUTHOR(S): Heathcote, Reginald St. A.
SOURCE: Quarterly Journal of Pharmacy and Pharmacology (1939), 12, 260-2
CODEN: QJPPAL; ISSN: 0370-2979
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The pharmacol. actions of 3 new glyoxaline derivs. have been examined Replacement of the β-ethylamine group of histamine by a phenyl or by a 3-pyridyl group completely abolished the power of producing contraction of the uterine muscle. Similar replacement by a 2-phenyl group reduced this activity to about one thousandth. The action of 2 of these compds. on the isolated frog heart was very slight, but still generally of the same order and kind as that of histamine itself. Two references.
IT 51746-85-1, Pyridine, 3-(1H-imidazol-4-yl)-
(pharmacology of)
RN 51746-85-1 CAPLUS
CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



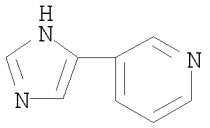
L7 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1938:41818 CAPLUS
DOCUMENT NUMBER: 32:41818
ORIGINAL REFERENCE NO.: 32:5831g-i,5832a-b
TITLE: Synthesis of phenyl- and pyridylglyoxalines
AUTHOR(S): Clemo, George R.; Holmes, Thomas; Leitch, Grace C.
SOURCE: Journal of the Chemical Society (1938) 753-5
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 32:41818
AB cf. C. A. 29, 783.8. In view of the great physiol. interest attached to histidine and histamine and in continuation of the work done on pyridylpyrazoles, it was decided to synthesize 5(4),3'-pyridylglyoxaline (I), which incorporates the structure of histamine and the isomeric

5(4),2'-pyridylglyoxaline (II). PhCOCH2NH2-HCl and KCNS give 5(4)-phenylglyoxaline-2-thiol (III), m. 267-5°; picrate (IV), yellow, m. 177°; some phenacylthiourea, m. 136°, is isolated from the NaHCO3 mother liquor, which yields IV with picric acid in EtOH; III and 10% HNO3 at 100° give 5(4)-phenylglyoxaline. The action of EtOK in C6H6 upon Et picolinate and AcOEt gives Et picolinoylacetate (V), b2 150° (decomposition); refluxing with N2H4.H2O in MeOH gives 5,2'-pyridylpyrazolone, m. 219°. If in the preparation of V, the C6H6 is removed and the product heated with 1:1 HCl for 4 h., there results 2-acetylpyridine, b12 78°, whose oxime m. 120°; p-MeC6H4SO2Cl in C5H5N give O-p-toluenesulfonyl-2-acetylpyridine (VI), m. 81-2°. With EtOK in EtOH VI gives 2-(ω-aminoacetyl)pyridine-HCl (VII), m. 171-2° (decomposition); KCNS gives 5(4),2'-pyridylglyoxaline-2-thiol (VIII), m. 247-8°; HCl salt, yellow, m. 303° (decomposition); picrate, yellow, m. 194-5°. VIII with HNO3 gives II, m. 112°; picrate, m. 207-8°. The 3-isomer of VI m. 78°; of VII, m. 172° (decomposition); 5(4), 3'-isomer of VIII, m. 291-2°; HCl salt, lemon-yellow, m. 241-2°; I, m. 117-18°; dinitrate, m. 200° (decomposition); picrate, decomps. 285°.

IT 51746-85-1, Pyridine, 3-(1H-imidazol-4-yl)- (and derivs.)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L7 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1936:61860 CAPLUS

DOCUMENT NUMBER: 30:61860

ORIGINAL REFERENCE NO.: 30:8212f-i

TITLE: Synthesis of imidazole derivatives from α-isonitroso ketones. Synthesis of 4-β-piperidylimidazole

AUTHOR(S): Ochiai, Eiji; Ikuma, Susumu

SOURCE: Yakugaku Zasshi (1936), 56, 525-31
CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

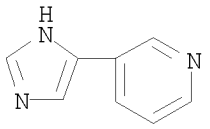
AB Reduction of 2 g. HON:CHCO2Et in 13 cc. N HCl and 5 cc. alc. with 0.2 g. Pd-C gave, after treating with KCNS at 50° for 2 hrs., Et 2-mercapto-4-methylimidazole-5-carboxylate, C7H10N2O2S, m. 229°. Reduction of 1.7 g. HON:CHCO2Et as before and followed by 15 g. KCNO gave Et 4-methylimidazol-2-one-5-carboxylate, C7H10N2O3, m. 220°. Reduction of 1 g. AcC(:NOH)Me in 4 cc. AcOH and 1 cc. concentrated HCl with 0.2 g. Pd-C gave, after treating for 2 hrs. on the water bath with NH4CNS, 2-mercapto-4,5-dimethylimidazole, C5H8N2S, m. 270° (cf. Sabriel, Ber. 28, 2038). The reduction product of 1 g. AcC(:NOH)Me when treated with 1 mol. KCN gave 4,5-dimethylimidazolone, C5H8N2O, m. 210°. Reduction of 3 g. Et isonitrosonicotylacetate as above, followed by treating with 2.7 g. KCNS, gave Et

2-mercapto-4-β-pyridylimidazole-5-carboxylic acid (I), C11H11N3SO2, m. 230-1° (yield, 3 g.). The use of KCNO instead of KCNS in the above reaction gave Et 4-pyridylimidazol-2-one-5-carboxylate, C11H11N3O3, m. 258° (decomposition). Oxidation of 5 g. I with H2O2 gave Et 4-β-pyridylimidazole-5-carboxylate, C11H11O2N3, m. 198° (yield, 3 g.). I gives 4,5-pyridylimidazole (II) according to the following reactions: Oxidation with H2O2 → hydrolysis → decarboxylation. Reduction of II under pressure gave 4 (5)-β-piperdylimidazole, which gave C8H18N3.2HCl.PtCl4, not decomposed at 340°; HCl salt, m. 188-90°; picrate, decomposing 227°; monobenzoate, m. 192°.

IT 51746-85-1P, Pyridine, 3-(4-imidazolyl)-
RL: PREP (Preparation)
(preparation of)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



L7 ANSWER 60 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1936:39289 CAPLUS

DOCUMENT NUMBER: 30:39289

ORIGINAL REFERENCE NO.: 30:5219a-i

TITLE: 1-Arylindazoles. II

AUTHOR(S): Borsche, W.; Butschli, L.

SOURCE: Justus Liebigs Annalen der Chemie (1936), 522, 285-98
CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

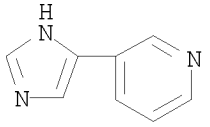
AB cf. C. A. 28, 5445.8. Me 2,4-dinitrophenylglyoxylate 4'-toluylhydrazine (I), red needles, m. 178-9° (75% yield); NaOH in MeOH gives nearly quantitatively 1-(4'-toluyl)-6-nitroindazole-3-carboxylic acid (II), brown, m. 268° (decomposition); Me ester, yellow, m. 191-2°; distillation of the acid gives 1-(4'-toluyl)-6-nitroindazole (III), yellow, m. 134-5°. The 4'-acetophenylhydrazone analog of I, yellow, m. 163-4°; 4'-acetophenyl analog of II, brown, m. 233°. 4'-Carboxyphenylhydrazone analog of I, orange-red, m. 262° (decomposition), quant. yield; 4'-carboxyphenyl analog of II, yellow, m. 300° (decomposition). 2,4-Dichlorophenylhydrazone analog of I, yellow, m. 204° (55% yield); 2',4'-dichlorophenyl analog of II, m. 262° (decomposition) (quant. yield). 2,4,6-Trichlorophenylhydrazone analog of I, orange-red, m. 173-4° (45% yield); 2',-4',6'-trichlorophenyl analog of II, m. 236° (decomposition); Me ester, m. 190°. Mesitylhydrazone analog of I, brick-red needles or dark red prisms, m. 147-8° (80% yield); mesityl analog of II (94% yield), analyzed as the Me ester, m. 165°; distillation gives 1-mesityl-6-nitroindazole, yellow, m. 103-10°. 1 - Phenyl - 2 - (2',4' - dinitrophenyl) - 1-oxoethane and PhN2Cl give a quant. yield of 1-phenyl-2- (2',4'-dinitrophenyl)dioxoethane 2-phenylhydrazone, red, m. 209° (decomposition); 1-phenyl-3-benzoyl-6-nitroindazole, ocher-yellow, m. 212-14°; this also results from the chloride, m. 191°, of 1-phenyl-6-nitroindazole-3-carboxylic acid (anilide, light yellow, m. 220-1°) and C6H6 with AlCl3. The corresponding

4'-methoxyphenylhydrazone, dark red, m. 175-6° (decomposition); the indazole, citron-yellow, m. 199-200°. 2,4-(O2N)2C6H3Bz did not form a phenylhydrazone. Catalytic reduction of III gives 1-(4'-toluyl)-6-aminoindazole, whose HCl salt decomposes 255 7° and whose Bz derivative m. 213-14°; some azoxy compound, C28H22ON6, yellow, m. 200°, is formed; through the diazo reaction there results 40% of 1-(4'-toluyl)indazole (IV), m. 70°. 1-Phenyl-3-acetyl-6-aminoindazole, yellow, m. 226-8°; Bz derivative, brown, m. 192°; 1-phenyl-3-acetylindazole, m. 84-5° (50% yield); oxime, yellow, m. 137° 2,4-dinitrophenylhydrazone, dark red, m. 263°; with BzH and NaOH there results 1-phenyl-3-cin-namoylindazole, light yellow, m. 149-50°. Me 1-phenyl-6-aminoindazole-3-carboxylate, m. 115° Bz derivative, m. 201°; Me 1-phenylindazole-3-carboxylate, m. 81°; free acid, m. 181°; chloride, m. 147-8°; anilide, m. 127-8°: the chloride and C6H6 with AlCl3 give 1-phenyl-3-benzoylindazole, m. 148-9° (2,4-dinitrophenylhydrazone, red, m. 215°). 1-Phenylindazole (V) and 86% HNO3 give a tetra-NO2 derivative, the MeOH-soluble portion m. 238-41° and the insol. part m. 226-8°; H2SO4, and KNO3 give a di-NO2 derivative, m. 253°. Fuming HNO3 reacts with 1-phenyl-6-nitroindazole to give a tetra-NO2 derivative, m. 220-3°; H2SO4 and KNO3 give the 6,4'-di-NO2 derivative, orange-yellow, m. 265°, which also results by distillation of 1-phenyl-6,4'-dinitroindazole-3-carboxylic acid; the corresponding diamine m. 207-9°. IV with H2SO4 and KNO3 give a di-NO2 derivative, yellow, m. 215°. Me 1-phenyl-6-nitroindazole-3-carboxylate (VI) and fuming HNO3 give a tetra-NO2 derivative, m. 225-6°; H2SO4 and KNO3 give the 6,4'-di-NO2 derivative, light yellow, m. 269-70°. V and Br in AcOH at room temperature give a tri-Br derivative, m. 181°; IV yields a di-Br derivative, m. 132-4°; Me 1-phenylindazole-3-carboxylate also forms a di-Br derivative, m. 182-3°. VI (3 g.) and 30 cc. 20% SnCl2 in AcOH-HCl give 1.55 g. of the free acid and 0.5 g. of the NH2 acid. The free acid (2.8 g.) from VI and Na2S2O4 give 2.05 g. of the NH2 acid. Catalytic reduction of Me 2,4-dinitrophenylglyoxylate phenylhydrazone gives a red compound, C30H34O9N8, m. 243-4° (decomposition).

IT 51746-85-1P, Pyridine, 3-(4-imidazolyl)-
RL: PREP (Preparation)
(preparation of)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L7 ANSWER 61 OF 61 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1936:39288 CAPLUS

DOCUMENT NUMBER: 30:39288

ORIGINAL REFERENCE NO.: 30:5218f-i,5219a

TITLE: Synthesis of imidazole derivatives from α-isonitroso ketones. 4-β-Piperidylimidazole

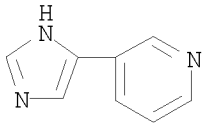
AUTHOR(S): Ochiai, Eiji; Ikuma, Susumu
SOURCE: Berichte der Deutschen Chemischen Gesellschaft [Abteilung] B: Abhandlungen (1936), 69B, 1147-51
CODEN: BDCBAD; ISSN: 0365-9488
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 30:39288

AB There was recently described a simplification of the Knorr pyrrole synthesis based on the catalytic reduction of an equimol. mixture of an isonitroso ketone and ketone (C. A. 29, 7983.1). If it were possible to use HSCN instead of the ketones, this should give mercaptoimidazoles. In attempting to carry out the reaction it was found that the com. alkali thiocyanate completely prevented the catalytic reduction. An equivalent mixture of isonitroso ketone and dilute HCl was accordingly first reduced catalytically and the filtered solution was treated with alkali thiocyanate. After short heating on the water bath the orange-red solution turned faintly yellow and soon deposited the 2-mercaptoimidazole in almost pure form. With KOCN instead of KSCN was obtained an imidazolone. AcC(:NOH)Me behaved similarly but the yields were much poorer. As the α-isonitroso ketones are much more readily available than the α-amino ketones, the method can be used for the preparation of various physiologically important imidazole derivs. By this method was prepared 4(5)-β-piperidylimidazole (I). Et 2-mercapto-4-methylimidazole-5-carboxylate (2.1 g. from 2 g. AcC(:NOH)CO2Et (II)), decomposes 229°. Et 4-methyl-2-imidazolone-5-carboxylate (1.3 g. from 1.7 g. II), m. 220°. 2-Mercapto-4,5-dimethylimidazole (0.4 g. from 1 g. AcC(:NOH)Me), blackens about 270°. 4,5-Dimethyl-2-imidazolone, turns brown 210°. Et 2-mercapto-4-β-pyridylimidazole-5-carboxylate (III) (3 g. from 3 g. Et isonitrosonicotoylacetate), decomposing 230-1° (picrate, decomposing 192°; HCl salt, decomposing 116°). Et 4-β-pyridyl-2-imidazolone-5-carboxylate, decomposing 258°. Et 4-β-pyridylimidazole-5-carboxylate (3 g. from 5 g. III with H2O2 in dilute H2SO4 at 40°), m. 198°, hydrolyzed by 5% alc. KOH on the water bath to the free acid (3.5 g. from 5 g. ester), decomposing 248°. The crude acid (6 g.) heated in N to 260° yields 2.1 g. 4-β-pyridylimidazole, m. 40-1°; di-HBr salt, decomposing above 320°. The HCl salt (1 g.) with a Pt catalyst and H under 16 atmospheric pressure in water yields 1 g. of the HCl salt, hygroscopic needles, of I, b0.001 200-50° (bath temperature); Bz derivative, C8H12N3Bz, m. 192°.

IT 51746-85-1P, Pyridine, 3-(4-imidazolyl)-
RL: PREP (Preparation)
(preparation of)

RN 51746-85-1 CAPLUS

CN Pyridine, 3-(1H-imidazol-5-yl)- (CA INDEX NAME)



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